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STEREO ATTRIBUTES: NONE

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FILE COVERS 1907 - 17 Dec 2002 VOL 137 ISS 25 FILE LAST UPDATED: 16 Dec 2002 (20021216/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L13
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     136:401784
     Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxyla
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     tes and -amides as inhibitors of p38-.alpha. kinase
     Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei
IN
PA
     Scios Inc., USA
     PCT Int. Appl., 97 pp.
SO
     CODEN: PIXXD2
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     English
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$$(R^3)_n \xrightarrow{\mathbb{Z}^2} \qquad Q^1 = \underset{(R^4)_m}{\operatorname{ArL}^2 Z^1} \underset{(q)_q}{\operatorname{NL}^1}$$

[Title compds. I; dotted line = optional double bond; B = WiCOXjY; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 .ANG.; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar = aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-contg. ring = 4.5-24.ANG.], were prepd. as inhibitors of p38-.alpha. kinase (no data). Thus, title compd. (II) was prepd. in several steps starting from 4-nitrophenylglyoxylic acid.

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L13 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2002 ACS
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AN 2000:842127 CAPLUS

DN 134:17503

TI Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase

IN Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, Sundeep; Lu, Qing; Liang, Xi

PA Scios Inc., USA

SO PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 5

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US 2000-202608P P 20000509 WO 2000-US14003 W 20000519

MARPAT 134:17503

OS GI

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$$Z^{2}$$

$$Z^{3}$$

$$Z^{2}$$

$$Z^{3}$$

$$Z^{3}$$

The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L13 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2002 ACS

AN 2002:408665 CAPLUS

DN 136:401784

Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxyla tes and -amides as inhibitors of p38-.alpha. kinase

IN Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei

PA Scios Inc., USA

SO PCT Int. Appl., 97 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE
PI WO 2002042292 A2 20020530 WO 2001-US43441 20011120

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                               20001120
                               20011120
     WO 2001-US43441
                         W
OS
     MARPAT 136:401784
GI
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$$(R^3)_n \xrightarrow{\mathbb{Z}^2} \qquad Q^1 = \underset{(R^4)_m}{\operatorname{ArL}^2 Z^1} \underset{(q)_q}{\operatorname{NL}^1}$$

[Title compds. I; dotted line = optional double bond; B = WiCOXjY; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 .ANG.; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar = aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-contg. ring = 4.5-24.ANG.], were prepd. as inhibitors of p38-.alpha. kinase (no data). Thus, title compd. (II) was prepd. in several steps starting from 4-nitrophenylglyoxylic acid.

### IT 309915-13-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylat es and -amides as inhibitors of p38-.alpha. kinase)

RN 309915-13-7 CAPLUS

CN 1H-Indole-3-acetamide, 6-chloro-5-[[(2R,5S)-4-[(4-fluorophenyl)methyl]-2,5-dimethyl-1-piperazinyl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 309915-14-8 309915-15-9

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxylat es and -amides as inhibitors of p38-.alpha. kinase)

RN 309915-14-8 CAPLUS

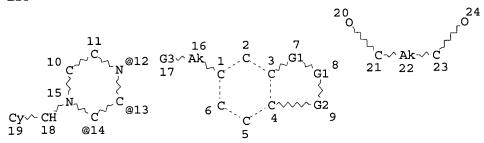
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RN 309915-15-9 CAPLUS

CN 1H-Indole-3-acetamide, 5-[[(2R,5S)-2,5-dimethyl-4-(1-phenylethyl)-1-piperazinyl]carbonyl]-6-methoxy-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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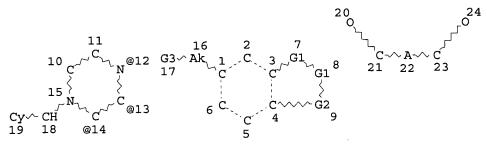
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STEREO ATTRIBUTES: NONE

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2000:842127 CAPLUS AN DN 134:17503 Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as ΤI inhibitors of p38 kinase Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar, IN Sundeep; Lu, Qing; Liang, Xi PA Scios Inc., USA so PCT Int. Appl., 85 pp. CODEN: PIXXD2 DT Patent English LA FAN.CNT 5 KIND DATE APPLICATION NO. DATE PATENT NO. \_ \_ \_ \_ \_ \_ \_ \_\_\_\_\_\_ ΡI WO 2000071535 A1 20001130 WO 2000-US14003 20000519 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK. SL. TJ. TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 2000-939322 20020213 20000519 EP 1178983 A1 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO BR 2000-11274 20000519 BR 2000011274 Α 20020226 NO 2001005655 Α 20020118 NO 2001-5655 20011120 PRAI US 1999-316761 Α 19990521 US 1999-154594P Ρ 19990917 P 20000509 US 2000-202608P W WO 2000-US14003 20000519 os MARPAT 134:17503 GΙ

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AB

The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y =

COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

IT 309915-11-5P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

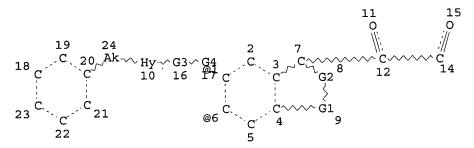
(prepn. of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as inhibitors of p38 kinase)

RN 309915-11-5 CAPLUS

1H-Indole-3-propanoic acid, .beta.-oxo-5-[[4-(phenylmethyl)-1-piperidinyl]carbonyl]-, ethyl ester (9CI) (CA INDEX NAME)

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 113 L13 HAS NO ANSWERS L13 STR



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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS

STEREO ATTRIBUTES: NONE

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FILE COVERS 1907 - 17 Dec 2002 VOL 137 ISS 25 FILE LAST UPDATED: 16 Dec 2002 (20021216/ED)

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PA
      PCT Int. Appl., 64 pp.
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MARPAT 137:6088

OS GI

6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compd. I. ANSWER 2 OF 3 CAPLUS COPYRIGHT 2002 ACS L16 2002:408665 CAPLUS ANDN 136:401784 Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxyla ΤI tes and -amides as inhibitors of p38-.alpha. kinase Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei IN Scios Inc., USA PΑ PCT Int. Appl., 97 pp. SO CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 APPLICATION NO. DATE KIND DATE PATENT NO. \_ \_ \_ \_ 20011120 WO 2001-US43441 WO 2002042292 A2 20020530 PΙ 20021017 WO 2002042292 **A**3 AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2002-26911 20011120 20020603 Α5 AU 2002026911 20001120 PRAI US 2000-252197P Р 20011120 WO 2001-US43441 W

Title compds. were prepd. as p38-.alpha. inhibitors (no data).

AB

OS

GI

MARPAT 136:401784

$$(R^3)_n \xrightarrow{Z^2}_{Z^3}_{Z^3} \qquad Q^1 = \underset{(R^4)_m}{\text{ArL}^2 Z^1} \underset{(Q^4)_m}{\text{NL}^1} \xrightarrow{\text{COCONMe}_2}$$

AB [Title compds. I; dotted line = optional double bond; B = WiCOXjY; Y = COR2, isostere thereof; R2 = H, noninterfering substituent; W, X = spacer of 2-6 .ANG.; i, j = 0, 1; R3 = noninterfering substituent; n = 0-3; Z3 = NR7, O; R7 = H, noninterfering substituent; 1 Z2 = C, CR8A, the other = CR1, C(R1)2, NR6, N; R1, R6, R8 = H, noninterfering substituent; A = Q1; Z1 = CR5, N; R5 = H, noninterfering substituent; p, q = 0-2; p+q = 0-3; Ar

= aryl group substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; R4 = noninterfering substituent; m is 0-4; L1, L2 = linker; the distance between the atom of Ar linked to L2 and the center of the Z2-contg. ring = 4.5-24.ANG.], were prepd. as inhibitors of p38-.alpha. kinase (no data). Thus, title compd. (II) was prepd. in several steps starting from 4-nitrophenylglyoxylic acid.

```
L16 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2002 ACS
      2000:842127 CAPLUS
AN
      134:17503
DN
      Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as
ΤI
      inhibitors of p38 kinase
      Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar,
IN
      Sundeep; Lu, Qing; Liang, Xi
      Scios Inc., USA
PA
      PCT Int. Appl., 85 pp.
SO
      CODEN: PIXXD2
DT
      Patent
      English
LΑ
FAN.CNT 5
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                         KIND DATE
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                               20020213
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                          A1
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      BR 2000011274
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                                                                      20011120
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                                                  NO 2001-5655
      NO 2001005655
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PRAI US 1999-316761
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                                19990521
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                           Ρ
                                 20000509
      US 2000-202608P
      WO 2000-US14003
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                              20000519
OS
      MARPAT 134:17503
GI
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$$Ar-L^2-z^1 \xrightarrow[k]{R^4}_m \xrightarrow{R^3}_{Z^2} z^2$$

The title compds. [I; one Z2 = CA, CR8A and the other = CR1, CR12, NR6, N (wherein R1, R6, R8 = H, noninterfering substituent; A = WiCOXjY; Y = COR2, an isostere; R2 = H, noninterfering substituent; W, X = spacer of 2-6.ANG.; i, j = 0-1); Z3 = NR7, O; R3 = noninterfering substituent; n = 0-3; L1, L2 = linker; R4 = noninterfering substituent; m = 0-4; Z1 = CR5, N (R5 = H, noninterfering substituent); l, k = 0-2, wherein the sum of l and k = 0-3; Ar = aryl substituted with 0-5 noninterfering substituents, wherein two noninterfering substituents can form a fused ring; the distance between the atom of Ar linked to L2 and the center of the .alpha. ring is 4.5-24.ANG.] which inhibit p38-.alpha. kinase (biol. data given), were prepd. Thus, treating 6-methoxy-(4-benzylpiperidinyl)-indole-5-carboxamide with oxalyl chloride in CH2Cl2 afforded the indole-5-carboxamide II.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 19 L9 HAS NO ANSWERS L9 STR

VAR G1=O/N VAR G2=C/N REP G3=(0-3) C NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

=> s 19 ful FULL SEARCH INITIATED 11:50:10 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 29468 TO ITERATE

100.0% PROCESSED 29468 ITERATIONS

SEARCH TIME: 00.00.07

L11 200 SEA SSS FUL L9

=> fil caplus COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 280.94 424.09

200 ANSWERS

FILE 'CAPLUS' ENTERED AT 11:50:21 ON 17 DEC 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 17 Dec 2002 VOL 137 ISS 25
FILE LAST UPDATED: 16 Dec 2002 (20021216/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

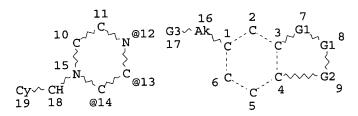
CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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=> s l11
                               4 L11
L12
=> d bib 1-4
L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2002 ACS
            2002:428896 CAPLUS
ΑN
DN
            137:6088
            Preparation of indolecarboxamides as p38-.alpha. inhibitors
ΤI
            Dugar, Sundeep; Mavunkel, Babu J.; Luedtke, Gregory R.; Mcenroe, Glen
IN
            Scios Inc., USA
PA
            PCT Int. Appl., 64 pp.
SO
            CODEN: PIXXD2
            Patent
DT
            English
LΑ
FAN.CNT 1
                                                                                                       APPLICATION NO. DATE
                                                    KIND DATE
            PATENT NO.
                                                                                                       _____
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                                                                                                  WO 2001-US43439 20011120
                                                   A2 20020606
            WO 2002044168
PΙ
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            WO 2001-US43439
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            MARPAT 137:6088
OS
L12 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2002 ACS
             2002:408665 CAPLUS
AN
 DN
             136:401784
             Preparation of piperidinylcarbonyl- and piperazinylcarbonylindolylglyoxyla
 TI
             tes and -amides as inhibitors of p38-.alpha. kinase
             Dugar, Sundeep; Luedtke, Gregory; Tan, Xuefei
 IN
             Scios Inc., USA
 PA
             PCT Int. Appl., 97 pp.
 so
             CODEN: PIXXD2
 DT
             Patent
             English
 LA
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RN 129605-73-8 REGISTRY
CN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-.alpha.-(2-methylpropyl)-6-oxo-1-(N-L-phenylalanyl-L-phenylalanyl)-, [5S-[5R*,7[R*(R*)]]- (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE
MF C42 H51 N7 O5
SR CA
LC STN Files: CA, CAPLUS, USPATFULL
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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> d 18 L8 HAS NO ANSWERS L8 STR



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VAR G2=O/N
VAR G3=12/13/14
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 1 10
NUMBER OF NODES IS 19

STEREO ATTRIBUTES: NONE

=> s 18 ful FULL SEARCH INITIATED 11:02:20 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 265531 TO ITERATE

100.0% PROCESSED 265531 ITERATIONS SEARCH TIME: 00.00.05

L10 346 SEA SSS FUL L8

346 ANSWERS

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1997:369604 CAPLUS
AN
     126:343487
DN
     Preparation of N-(2-substituted-3-(2-aminoethyl)-1H-indol-5-yl) amides as
ΤI
     new 5-HT1F agonists
     Fritz, James Erwin; Hahn, Patric James; Kaldor, Stephen Warren; Siegel,
IN
     Miles Goodman; Xu, Yao-Chang
     Lilly, Eli, and Co., USA
PΑ
     Eur. Pat. Appl., 52 pp.
SO
     CODEN: EPXXDW
DT
     Patent
     English
LA
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                                           APPLICATION NO. DATE
                      KIND DATE
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                            19960522
     US 1996-15851P
                       W
                            19961008
     WO 1996-US16122
                       Α3
                            19961009
     EP 1996-307334
     MARPAT 126:343487
OS
GI
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$$R^{1}$$
 $N-R^{2}$ 
 $R^{3}$ 
 $R^{3}$ 
 $R^{4}$ 
 $R^{3}$ 

The title compds. [I; R1, R3 = H, C1-4 alkyl; R2 = C1-4 alkyl, C3-8 cycloalkyl, cycloalkyl-(C1-3 alkylene), etc.; X = NHC(O)R4, NHC(Y)NR5R6, NHC(O)OR7, NHSO2R8 (wherein R4 = C1-4 alkyl, (un)substituted Ph, naphthyl, etc.; R5, R6 = H, C1-6 alkyl, C3-6 alkenyl, etc.; R5R6 = pyrrolidino, piperidino, morpholino, etc.; R7 = C1-6 alkyl, C3-6 alkenyl, Ph, etc.; R8 = C1-4 alkyl, (un)substituted Ph, di(C1-4 alkyl)amino; Y = S, O)] and their salts, novel 5-HT1F agonists useful for the treatment of migraine and assocd. disorders, were prepd. and formulated. Thus, cyclization of

N,N-dimethyl-5-amino-2-pentanone with 4-[(4-fluorobenzoyl)amino]phenylhydr azine in the presence of conc. HCl in EtOH afforded 63% I.HCl [R1 = R2 = Me; R3 = Me; 4-FC6H4C(O)NH]. Representative compds. I were found to have an affinity at the 5-HTlF receptor of Ki .ltoreq. 1.5 .mu.M.

AN 1999:605549 CAPLUS

DN 132:49849

TI Synthesis and serotonergic activity of a series of 2-(N-benzyl)carboxamido-5-substituted-N,N-dimethyltryptamine derivatives: novel antagonists for the vascular 5-HT1B-like receptors

AU Moloney, Gerard P.; Martin, Graeme R.; Mathews, Neil; Hobbs, Heather; Dodsworth, Susan; Sang, Pang Yih; Knight, Cameron; Maxwell, Miles; Glen, Robert C.

CS Department of Medicinal Chemistry, Victorian College of Pharmacy (Monash University), Parkville, 3052, Australia

Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1999), (19), 2699-2711 CODEN: JCPRB4; ISSN: 0300-922X

PB Royal Society of Chemistry

DT Journal

LA English

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The synthesis and vascular 5-HT1B-like receptor AΒ activity of a novel series of 2-(N-benzyl)carboxamido-5-substituted-N,Ndimethyltryptamine derivs. is described. Modifications to the 5-ethylene linked heterocycle are explored. Compds. such as N-benzyl-5-[2-(phthalimido) ethyl] -3-[2-(dimethylamino) ethyl] -1H-indole-2 -carboxamide (I; R1 = H) (pKB = 7.33), the 2-aminobenzyl analog I (R1 = NH2) (pKB = 7.19), and N-benzyl-5-[2-(1-benzyl-2,5-dioxoimidazolidin-4yl)ethyl]-3-[2-(di-Me amino)ethyl]-1H-indole-2-carboxamide (II) (pKB = 7.05) have good 5-HT1B-like affinity and indicate that there may be a hydrophobic binding pocket within the vascular 5-HT1B-like receptor previously not considered. Compds. including N-benzyl-3-[2-(dimethylamino)ethyl]-5-[2-(2,4-dioxo-1,3-thiazolidinyl)ethyl]-1H-indole -2-carboxamide (III;  $R1 = \bar{H}$ ) (pKB = 7.35) and the di-Me analog III (R1 = Me) (pKB = 7.48) have good vascular 5-HT1B-like receptor affinity and show that the sulfur atom is well tolerated. Dioxoimidazolinyl compd. IV which includes a methylsulfonyl substituent on the 1-nitrogen of the hydantoin ring system has the highest recorded 5-HT1B-like affinity for this series (pKB = 7.54) and it is proposed that this functional group can interact with a secondary hydrogen bonding region within the receptor. Compds. I-IV also exhibited good selectivity over the .alpha.1-adrenoceptors. The most selective compd. from this series is III (R1 = Me) which is 66-fold selective over the .alpha.1-adrenoceptors. This finding is consistent with the previous discovery that 5,5-di-Me substitution on the hydantoin group in a related series of compds. afforded superior selectivity for 5-HT1B-like receptors over .alpha.1-adrenoceptors and other 5-HT receptors, in particular 5-HT2A receptors, relative to unsubstituted hydantoin analogs. The selectivity of these compds. for the vascular 5-HT1B-like receptor is discussed. Structure-activity relationship indicated a significant steric requirement of the 5-HT1B-like receptor subtype. Potential modes of binding for several of the compds. to a vascular 5-HT1B-like receptor pharmacophore model are also proposed.

RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

IN 1H-Indole-2-carboxamide, 3-[2-(dimethylamino)ethyl]-5-[2-(5,5-dimethyl-2,4-dioxo-3-thiazolidinyl)ethyl]-N-(phenylmethyl)- (9CI)
MF C27 H32 N4 O3 S

Three members of claimed indoles I [n = 0-2; m = 0-3; W = 7 types of oxo- and/or thioxo-substituted azolidinyl radicals (pyrrolidinyl, imidazolidinyl, oxazolidinyl, thiazolidinyl) with optional addnl. substituents; R1 = H, (hydroxy)alkyl, alkenyl, alkynyl, aryl, alkylaryl (sic, e.g., CH2Ph), alkylheteroaryl, certain heterofunctional-terminated alkyl; R2 = H, OR3, NHCOR3; R3 = H, , alkyl, aryl, alkylaryl], potent 5-HT1 agonists (no data), were prepd. for treatment of hypertension, depression, anxiety, obesity, migraine, etc. For example, Mitsunobu coupling of the alc.

(R)-1-(N-benzyloxycarbonylpyrrolidin-2-yl)-3-hydroxypropene with 2-bromo-4-(2-oxo-1,3-oxazolidin-4(S)-ylmethyl)-1- (trifluoroacetylamino)benzene at the amide N (100% yield), followed by Pd(OAc)2-catalyzed cyclization to an indole (40%), hydrogenolytic deprotection (89%), and N-alkylation with MeOCH2CH2Br (36%), gave title compd. II.

```
1994:106761 CAPLUS
ΑN
    120:106761
DN
    Indole derivatives as serotonin receptor (5-HT1) agonists
ΤI
    Macor, John E.; Wythes, Martin J.
IN
    Pfizer Inc., USA
PA
    PCT Int. Appl., 43 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
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                                                      19930310
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    EP 635017
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                    A3 19980414
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     WO 2001-US43441
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L12
     2000:842127 CAPLUS
ΑN
DN
     134:17503
     Preparation of 5-[4-benzylpiperidinyl(piperazinyl)]-indolecarboxamides as
ΤI
     inhibitors of p38 kinase
     Mavunkel, Babu J.; Chakravarty, Sarvajit; Perumattam, John J.; Dugar,
IN
     Sundeep; Lu, Qing; Liang, Xi
     Scios Inc., USA
PA
SO
     PCT Int. Appl., 85 pp.
     CODEN: PIXXD2
DT
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LΑ
     English
FAN.CNT 5
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                                           WO 2000-US14003 20000519
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RE.CNT 3
               ALL CITATIONS AVAILABLE IN THE RE FORMAT
L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS
     1992:651348 CAPLUS
AN
      117:251348
DN
     Preparation of [(aminoalkyl)indolyl]thiazoles as 5-HT1 receptor agonists
ΤI
     Nowakowski, Jolanta Teresa
ΙN
     Pfizer Inc., USA
PA
      PCT Int. Appl., 84 pp.
SO
      CODEN: PIXXD2
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L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2002 ACS IT 144340-52-3P 144340-53-4P 144340-58-9P 144340-59-0P 144340-60-3P 144340-61-4P 144340-71-6P 144340-72-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as intermediate for 5-HT1 receptor agonists)

RN 144340-52-3 CAPLUS

CN 1H-Indole-3-acetamide, N,N-dimethyl-.alpha.-oxo-5-[4-(phenylmethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2 & N & H \\ & & \\ S & & \\ &$$

RN 144340-53-4 CAPLUS

CN 1H-Indole-3-acetamide, N,N-dimethyl-.alpha.-oxo-5-[4-(2-phenylethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

RN 144340-58-9 CAPLUS

CN 1H-Indole-3-acetamide, N,N-dimethyl-.alpha.-oxo-5-[2-(phenylmethyl)-4-thiazolyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2 & N & \\ S & & C-C-NMe_2 \\ & & & \\ & O & O \end{array}$$

RN 144340-59-0 CAPLUS

CN 1H-Indole-3-acetamide, 5-[4-[(2-fluorophenyl)methyl]-2-thiazolyl]-N,N-dimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 144340-60-3 CAPLUS

CN 1H-Indole-3-acetamide, N,N-dimethyl-5-[4-[(2-nitrophenyl)methyl]-2-thiazolyl]-.alpha.-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

RN 144340-61-4 CAPLUS

CN 1H-Indole-3-acetamide, 5-[4-[(4-methoxyphenyl)methyl]-2-thiazolyl]-N,N-dimethyl-.alpha.-oxo-(9CI) (CA INDEX NAME)

$$\begin{array}{c|c} & H \\ N \\ \hline \\ \text{MeO} \end{array}$$

RN 144340-71-6 CAPLUS

CN 1H-Indole-3-acetamide, .alpha.-oxo-5-[4-(phenylmethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2 & N & H \\ N & N \\ \hline \\ C-C-NH_2 \\ \parallel & \parallel \\ C-C-NH_2 \\ \end{array}$$

$$\begin{array}{c|c} Ph-CH_2 & N & H \\ N & N \\ \hline \\ C-C-NH_2 \\ \parallel & \parallel \\ O & O \end{array}$$

RN 144340-72-7 CAPLUS
CN 1H-Indole-3-acetamide, N-methyl-.alpha.-oxo-5-[4-(phenylmethyl)-2-thiazolyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} Ph-CH_2 & N & H \\ \hline N & C-C-NHMe \\ \hline || & || \\ \hline 0 & O \end{array}$$

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2002:428896 CAPLUS
AN
     137:6088
DN
     Preparation of indolecarboxamides as p38-.alpha. inhibitors
TI
     Dugar, Sundeep; Mavunkel, Babu J.; Luedtke, Gregory R.; Mcenroe, Glen
IN
     Scios Inc., USA
PA
SO
     PCT Int. Appl., 64 pp.
     CODEN: PIXXD2
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FAN.CNT 1
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     WO 2002044168
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     MARPAT 137:6088
GΙ
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AB Title compds. were prepd. as p38-.alpha. inhibitors (no data). 6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compd. I. IT 433286-59-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

I

(prepn. of indolecarboxamides as p38-.alpha. inhibitors)

433286-59-0 CAPLUS RN

1H-Indole-3-acetamide, 6-chloro-5-[[1-[(4-fluorophenyl)methyl]-1,7-CN diazaspiro[4.4]non-7-yl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) INDEX NAME)

AN 2002:324773 CAPLUS

DN 137:294931

TI 2-(3-Aminopropyl)-4-pentenoic acid as a bio-compatible/cleavable linker for solid-phase organic synthesis

AU Guo, Mao-Jun; Varady, Laszlo

CS Applications Development, ArQule Inc., Woburn, MA, 01801, USA

SO Tetrahedron Letters (2002), 43(20), 3677-3680 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:294931

AB 2-(3-Aminopropyl)-4-pentenoic acid lithium salt was prepd. and used as a biocompatible, cleavable linker in solid-phase org. synthesis. The products were released from solid support through cycloelimination.

IT 467451-29-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (2-(3-aminopropyl)-4-pentenoic acid as a biocompatible cleavable linker for solid-phase org. synthesis)

RN 467451-29-2 CAPLUS

CN Piperazine, 1-[4-[[(3'R,2'S,4'S,5'R)-4'-(3-benzofuranyl)-5'-(2-fluorophenyl)-1,2-dihydro-1-methyl-2-oxospiro[3H-indole-3,2'-pyrrolidin]-3'-yl]carbonyl]benzoyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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1996:462227 CAPLUS
AN
DN
    125:115150
    Cyclic hexapeptides having antibiotic activity
ΤI
    Ohki, Hidenori; Tomishima, Masaki; Yamada, Akira; Takasugi, Hisashi
IN
    Fujisawa Pharmaceutical Co., Ltd., Japan
PA
    PCT Int. Appl., 273 pp.
SO
    CODEN: PIXXD2
    Patent
DT
    English
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    US 6265536
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    WO 1995-JP1983
    US 1997-809723
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OS
    MARPAT 125:115150
GI
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- \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT \*
- The invention relates to new cyclic polypeptide derivs. I [R1 = variety of substituted acyl groups] and their pharmaceutically acceptable salts. The compds. have antimicrobial activities (esp., antifungal activities) and inhibitory activity on .beta.-1,3-glucan synthase (no data), and are useful for prophylactic and/or therapeutic treatment of infectious diseases including Pneumocystis carinii infection (e.g., P. carinii pneumonia). Examples include 124 compds. I, plus 346 precursor prepns. For instance, reaction of the precursor I.Na [R1 = H] with 1-[6-[(octyloxy)methyl]picolinoyl]benzotriazole 3-oxide in DMF in the presence of DMAP gave title compd. I [R1 = Q1]. In a test against Candida albicans FP-633 in vitro, I [R1 = Q2] had MIC of 0.2 .mu.g/mL.
- IT 179166-59-7P
  RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of cyclic hexapeptides active against fungi and Pneumocystis carinii)

RN 179166-59-7 CAPLUS

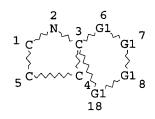
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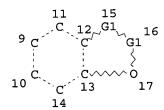
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PAGE 1-A

PAGE 2-A

`(СH2)9—Ме





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L39

L40 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS

467451-29-2 REGISTRY RN

Piperazine, 1-[4-[[(3'R,2'S,4'S,5'R)-4'-(3-benzofuranyl)-5'-(2-CNfluorophenyl)-1,2-dihydro-1-methyl-2-oxospiro[3H-indole-3,2'-pyrrolidin]-3'-yl]carbonyl]benzoyl]-, rel- (9CI) (CA INDEX NAME)

STEREOSEARCH FS

C38 H33 F N4 O4 MF

SR

CA, CAPLUS, CASREACT, TOXCENTER LCSTN Files:

Relative stereochemistry.

0 ANSWERS

1 ANSWERS

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATÉ) 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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SINCE FILE TOTAL ENTRY SESSION 1044.73 1200.31

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:37:48 ON 01 APR 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 1 Apr 2003 VOL 138 ISS 14 FILE LAST UPDATED: 31 Mar 2003 (20030331/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L41 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS AN 2002:324773 CAPLUS

DN 137:294931

TI 2-(3-Aminopropyl)-4-pentenoic acid as a bio-compatible/cleavable linker for solid-phase organic synthesis

AU Guo, Mao-Jun; Varady, Laszlo

CS Applications Development, ArQule Inc., Woburn, MA, 01801, USA

SO Tetrahedron Letters (2002), 43(20), 3677-3680 CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 137:294931

AB 2-(3-Aminopropyl)-4-pentenoic acid lithium salt was prepd. and used as a biocompatible, cleavable linker in solid-phase org. synthesis. The products were released from solid support through cycloelimination.

IT 467451-29-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (2-(3-aminopropyl)-4-pentenoic acid as a biocompatible cleavable linker for solid-phase org. synthesis)

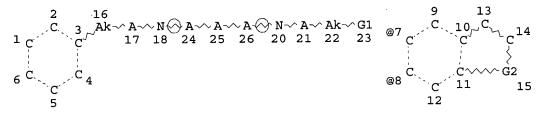
RN 467451-29-2 CAPLUS

CN Piperazine, 1-[4-[[(3'R,2'S,4'S,5'R)-4'-(3-benzofuranyl)-5'-(2-fluorophenyl)-1,2-dihydro-1-methyl-2-oxospiro[3H-indole-3,2'-pyrrolidin]-3'-yl]carbonyl]benzoyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 25

L12

STEREO ATTRIBUTES: NONE

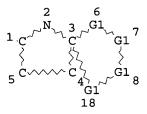
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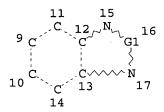
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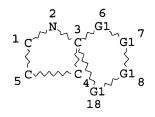
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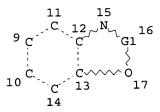
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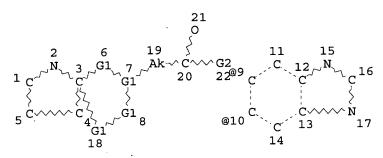
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STEREO ATTRIBUTES: NONE

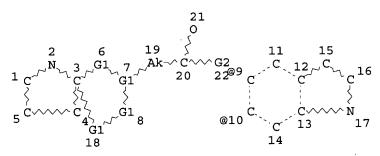
=> s l19 ful FULL SEARCH INITIATED 10:31:16 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 37479 TO ITERATE

100.0% PROCESSED 37479 ITERATIONS SEARCH TIME: 00.00.03

L21 0 SEA SSS FUL L19

0 ANSWERS

L17 HAS NO ANSWERS L17 STF



VAR G1=C/N
VAR G2=9/10
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 10

NUMBER OF NODES IS 22

STEREO ATTRIBUTES: NONE

=> s l17 ful FULL SEARCH INITIATED 10:31:33 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 501865 TO ITERATE

79.7% PROCESSED 400000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.17

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*

BATCH \*\*COMPLETE\*\*

0 ANSWERS

PROJECTED ITERATIONS: 501865 TO 501865

PROJECTED ANSWERS: 0 TO 0

L22 0 SEA SSS FUL L17

```
=> d 11
L1 HAS NO ANSWERS
      13
            8
Cy~G1
            CH
                    11
 14
   2
      3
REP G1=(1-10) A
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
        IS UNS AT 12
GGCAT
        IS UNS AT
                     14
GGCAT
```

GRAPH ATTRIBUTES:

RSPEC 3

NUMBER OF NODES IS 13

DEFAULT ECLEVEL IS LIMITED

STEREO ATTRIBUTES: NONE

=> s 11 ful FULL SEARCH INITIATED 10:58:37 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 2225 TO ITERATE

2225 ITERATIONS 100.0% PROCESSED

1 ANSWERS

SEARCH TIME: 00.00.01

1 SEA SSS FUL L1 L3

=> d

ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN L3

433286-59-0 REGISTRY RN

1H-Indole-3-acetamide, 6-chloro-5-[[1-[(4-fluorophenyl)methyl]-1,7-CNdiazaspiro[4.4]non-7-yl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C28 H30 Cl F N4 O3

SR

STN Files: CA, CAPLUS, USPATFULL LC

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

```
1990:236007 CAPLUS
AN
DN
     112:236007
     Alkenylhydroxyphenyl derivatives of 1,6-diazaspiro[4.4]dilactams
ΤI
IN
     Wang, Pen C.
PA
     Shell Oil Co., USA
     U.S., 5 pp.
SO
     CODEN: USXXAM
DΤ
     Patent
     English
LA
FAN.CNT 5
                                          APPLICATION NO.
                                                           DATE
                     KIND DATE
     PATENT NO.
                                           _____
                                                            19890223 <--
                                           US 1989-314520
                      Α
                            19891212
     US 4886863
PΙ
                                           US 1989-356157
                                                            19890524 <--
                            19901106
     US 4968811
                      Α
                            19900829
                                           EP 1990-200323
                                                            19900212 <--
     EP 384518
                      Α1
     EP 384518
                     В1
                            19940824
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
                                          CA 1990-2010537 19900221 <--
     CA 2010537
                      AA
                            19900823
                                           JP 1990-38566
                                                           19900221 <--
     JP 02275881
                      A2
                            19901109
PRAI US 1989-314512
                            19890223
     US 1989-314518
                            19890223
     US 1989-314519
                            19890223
     US 1989-314520
                            19890223
     CASREACT 112:236007; MARPAT 112:236007
os
GI
```

$$\begin{array}{c} \text{CH}_2\text{CH} = \text{CH}_2 \\ \text{HO} \\ \text{CH}_2 = \text{CHCH}_2 \\ \text{O} \end{array}$$

LΑ

The title compds., useful in the prodn. of cured resins, are prepd. AΒ Heating 202.8 g 1,6-bis(4-hydroxyphenyl)-1,6diazaspiro[4.4] nonane-2,7 -dione (I), 91.22 g K2CO3, 200 mL PhMe, and 1 L AcNMe2 at 150-160.degree. with distn. of H2O, cooling to 90.degree., adding 200.2 g allyl bromide in 200 mL AcNMe2 over 80 min, and heating 12 h at 90.degree. gave I diallyl ether, heating of which in N-methylpyrrolidone at 200-205.degree. for 12 h gave >90% dilactam II. Heating a 1: 1 mixt. of II and N, N'-(methylene-di-p-phenylene) bismaleimide gave a tough, crosslinked resin.

```
ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
L8
     1990:199311 CAPLUS
ΑN
DN
     112:199311
     Preparation annd polymerization of unsaturated spirodilactams
TI
IN
     Wang, Pen C.
     Shell Oil Co., USA
PA
SO
     U.S., 6 pp.
     CODEN: USXXAM
     Patent
DT
     English
```

```
FAN.CNT 5
                                         APPLICATION NO. DATE
    PATENT NO. KIND DATE
     _____
                                           _____
                                       US 1989-314512
US 1989-356158
    US 4885351 A 19891205
US 4940801 A 19900710
                                                            19890223 <--
PΙ
                                                            19890524 <--
    EP 384518 A1 19940824 BB 19940824
                                          EP 1990-200323
                                                            19900212 <--
       R: BE, CH, DE, ES, FR, GB, IT, LI, NL
     CA 2010537 AA 19900823 CA 1990-2010537 19900221 <-- JP 02275881 A2 19901109 JP 1990-38566 19900221 <--
PRAI US 1989-314512
US 1989-314518
                          19890223
                          19890223
     US 1989-314519
                           19890223
     US 1989-314520
                           19890223
     MARPAT 112:199311
OS
     1,6-Diaza[4.4]spirodilactams bearing unsatd. groups on each
AΒ
```

spiro ring N atom give cured products when heated with curing agents at >150.degree. Heating 150 g 4-oxoheptanedioic acid, 100 g allylamine, 200 mL AcNMe2, and 50 mL PhMe at 140-160.degree. with azeotropic distn. of H20 gave 200.8 g N, N'-diallyl-1,6-diazaspiro[4.4]nonane-2,7-dione (I). Heating 50 parts I

and 50 parts N, N'-(methylenedi-p-phenylene) bismaleimide at 200.degree. for 4 h and 220.degree. for 2 h gave a crosslinked product with glass temp. 237.degree..

SO

U.S., 8 pp. CODEN: USXXAM

```
Patent
LA English
FAN.CNT 1
                                       APPLICATION NO. DATE
    PATENT NO. KIND DATE
    FAIENT NO. KIND DATE
                                        _____
    US 5095088
                   A 19920310
19900813
                                       US 1990-566195 19900813 <--
PRAI US 1990-566195
    The title oligomers, useful as intermediates for prepn. of linear,
    solvent-resistant polymers, are prepd. from dihydroxyaryl spirodilactam
    compds., carbonate sources and, optionally, dihydroxyphenyl compds. Thus,
    an oligomer was prepd. from bisphenol A, bisphenol A dichloroformate, and
    1,6-di(4-hydroxyphenyl)-1,6-diazaspiro[
    4.4] nonane-2,7-dione in CHCl3 in the
    presence of NaOH and Et3N.
    ANSWER 4 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
L8
    1991:584203 CAPLUS
ΑN
    115:184203
DN
    Polycarbonates having spirodilactam moieties
ΤI
IN
    Wang, Pen Chung
    Shell Oil Co., USA
PA
    U.S., 5 pp.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 1
    PATENT NO. KIND DATE APPLICATION NO. DATE
                                        -----
                    ____
    _____
PI US 5030707 A 19910709
PRAI US 1989-411775 19890925
                                        US 1989-411775 19890925 <--
    The polycarbonates contain alternating 1,6-
    diaza[4.4]spirodilactam groups and bisphenol residues, and have good
    hydrolytic stability. A soln. of 3.52 g bisphenol A bis(chloroformate) in
    75~\mathrm{mL} CHCl3 was added over 30 min to a stirred soln. of 3.38 g 1
    ,6-bis(4-hydroxyphenyl)-1,6-diazaspiro[4.
    4] nonane-2,7-dione and 1.0 g NaOH in
    75 mL H2O, mixed with 0.2 mL Et3N, and stirred 12 h at 25.degree., giving
    a white polymer with glass temp. 143.degree..
    ANSWER 5 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
L8
AN
    1991:248046 CAPLUS
DN
    114:248046
    Arylcyclobutene ethers for thermosetting resins
TI
    Wang, Pen C.
ΙN
    Shell Oil Co., USA
PΑ
SO
    U.S., 6 pp.
    CODEN: USXXAM
DT
    Patent
    English
LΑ
FAN.CNT 1
                                        APPLICATION NO. DATE
    PATENT NO. KIND DATE
                                         _____
    _____ ___
    US 4968810 A
US 5059674 A
                                        US 1989-324872
                                                         19890317 <--
                          19901106
PΙ
OS 3039674 A
PRAI US 1989-324872
OS MARRAM 77
                                        US 1990-506037 19900409 <--
                          19911022
                         19890317
OS MARPAT 114:248046
```

DT

GΙ

$$AR^{2}OR(XR^{1})_{r}-N$$

$$Z$$

$$N-(R^{1}X)_{r}ROR^{2}A$$

$$Z$$

$$Q$$

AB Hydroxyaryl-substituted spirodilactams I [A = C.ltoreq.30 arylenecyclobutene ring system having .ltoreq.4 arom. rings; R = C.ltoreq.15 arom. divalent ring system; R1 = R; R2 = C.ltoreq.10 alkylene; X = direct bond, C.ltoreq.8 alkylene, oxy, thio, sulfonyl, carbonyl, dioxyphenyl, 2,2-di(oxyphenyl)propane, di(oxyphenyl) sulfone, dioxydiphenylene; Z = CZ12; Z1 = H, lower alkyl, halogen, Ph; r = 0, 1] are prepd., which, when heated, produce resins having relatively high glass transition temp. and good phys. properties. Thus, 16.92 g of 1,6-di(4-hydroxyphenyl)-1,6-

Ι

diazaspiro[4.4]nonane-2,7

-dione, 7.6 g K2CO3, 50 mL toluene, and 200 mL AcNMe2 were heated to 150-160.degree., cooled to 80-90.degree., 16.77 g chloromethylbenzocyclobutene in 50 mL AcNMe2 added over 30 min, the mixt. heated to 150.degree. for 12 h, producing 1,6-bis[4-(4-benzocyclobutenemethyloxy)phenyl]-1,6-diazaspiro[

4.4] nonane-2,7-dione, which was

heated at 200.degree. for 2 h and at 220.degree. for 4 h, producing a polymer having glass-transition temp. 267.degree..

L8 ANSWER 6 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:248037 CAPLUS

DN 114:248037

TI Preparation of polyarylate polymers of hydroxyaryl-substituted 1,6-diaza (4.4) spirodilactams

IN Wang, Pen Chung

PA Shell Oil Co., USA

SO U.S., 8 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

AB The high-mol.-wt. polyesters are prepd. by interfacial polymn. of hydroxylaryl-substituted 1,6-diaza[4,4]spirolactam compds. and arom. diacid halides, optionally with di(hydroxyphenyl) compds. in mixts. of aq. caustic solns., water-immisible org. solvents, and deemulsifying agents. Thus, stirring 1,6-di(hydroxyphenyl)-1,6-

diazaspiro[4,4]nonane-2,7

-dione 8.11, bisphenol A 8.22, (PhCH2)N+Et3Cl- 0.4, NaHSO3 0.04, and NaOH 5.28 g in a mixt. of iso-PrOH 50, CHCl3 420, and H2O 270 mL at <10.degree. and 1000 rpm under N, adding a soln. of 12.18 g isophthaloyl chloride in 70 mL CHCl3 over 30 min, and stirring for 12 h gave a polymer having glass-transition temp. 233.degree., and inherent viscosity 0.81 dL/g.

L8 ANSWER 7 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:248032 CAPLUS

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DN 114:248032
TI Thermoplastic polyarylates with high glass transition temperature
```

IN Wang, Pen Chung

PA Shell Oil Co., USA

SO U.S., 7 pp. Cont.-in-part of U.S. 4,910,285. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b>-</b>			
ΡI	US 4977235	Α	19901211	US 1989-454727	19891221 <
	US 4910285	Α	19900320	us 1989-314515	19890223 <
DDAT	119 1989-314515		19890223		

The melt-processable polyarylates useful for shaped articles are derived from an arom. diacid halide, a hydroxyaryl-substituted spirodilactam compd. and, optionally a bisphenol compd. Stirring under N at <10.degree. a mixt. of 1,6-di(hydroxyphenyl)-1,6-

diazaspiro[4.4] nonane-2,7

-dione 8.11, bisphenol A 8.22, Et3PhCH2N+Cl- 0.4, NaHSO3 0.04, and NaOH 5.28 g in H2O 270, CHCl3 420, and iso-PrOH 50 mL, adding 12.18 g isophthaloyl chloride in 70 mL CHCl33 over 30 min, and stirring at room temp. for 12 h gave a polymer with glass temp. 233.degree. and inherent viscosity 0.8 dL/g.

- L8 ANSWER 8 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:229666 CAPLUS

DN 114:229666

- TI Aromatic polyethers containing spirodilactam groups and arylene groups bearing electron-withdrawing substituents
- IN Wang, Pen Chung
- PA Shell Oil Co., USA
- SO U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 314,516, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.CNT 5

 0111						
PATENT NO. KIN		DATE	APPLICATION NO.	DATE		
 US 4968769 US 1989-314516	A	19901106 19890223	US 1989-428563	19891030 <		

AB The title thermoplastic polymers have high glass temp. and good dimensional stability, and are useful as containers for food and drink and in elec. applications. A mixt. of 1,6-di(4-hydroxyphenyl)-

1,6-diazaspiro[4.4] nonane

-2,7-dione 16.9, 2,6-dichlorobenzonitrile 8.6, anhyd. K2CO3
7.0 g, 50 mL PhMe, and 100 mL N-methylpyrrolidone was heated to
160.degree. with azeotropic distn. of H2O, mixed with 0.135 g
p-chlorobenzonitrile when the mixt. became viscous, then purified, giving
21.0 g white polymer with glass temp. 267.degree., tensile strength 14.600
psi, elongation 7%, and modulus 405,000 psi.

- L8 ANSWER 9 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1991:164041 CAPLUS
- DN 114:164041
- TI Preparation of epoxyalkoxy-containing spirodilactams as monomers
- IN Wang, Pen C.
- PA Shell Oil Co., USA
- SO U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 172,054, abandoned. CODEN: USXXAM
- DT Patent
- LA English

FAN.	CNT 7 PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4895942	A	19900123	US 1988-245434 CA 1989-608975	19880916 < 19890822 <
	CA 1335596	A1 A2	19950516 19900620	JP 1989-237400	19890914 <
	JP 02160787 EP 359341	A2 A2	19900321	EP 1989-202341	19890915 <
	EP 359341	A2 A3	19910925	EL 1909 202011	2500002
	EP 359341	B1	19940216		
	R: BE, CH,	DE, ES	, FR, GB, I	T, LI, NL	
PRAI	US 1988-172054		19880323		
	US 1988-245433		19880916		
	US 1988-245434		19880916		
	US 1988-245618		19880916		
	US 1988-245619		19880916		
	US 1988-249934		19880927 19890317		
0.0	US 1989-324870 MARPAT 114:1640	41	19090317		
OS GI	MAKPAI 114:1040	11			
GI					

The title compds. [I; Z = CR2; R = H, alkyl; ZZ completing a 5- to 7-membered ring contg. .ltoreq.2 N, O, or S and the balance .ltoreq.15 C atoms, 2 of which connect a carbonyl C atom with the spiro C atom; Z1 = C.ltoreq.15 arom. group of .ltoreq.2 arom. rings; Z2, Z3 = Z1, C.ltoreq.10 aliph. group; r = 0, 1; X = a direct balance bond, C.ltoreq.8 alkylene, O, S, SO2, CO, dioxyphenylene, 2,2-di(oxyphenyl)propane, dioxydiphenylene; E = C.ltoreq.8 [1 -(2,3-epoxy)alkoxy]; G = H, E; provided that when G = E, Z3 = Z] were prepd. Thus, a mixt. of 0.03 mol 1,6-diazaspiro[ 4.4]nonane-1,7-dione (II; R1 = H) and 0.05 g EtPh3P+Br- in 150 mL epichlorohydrin was stirred 4 h at 110-120.degree. to give 95% II (R1 = glycidyl).

L8 ANSWER 10 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:101726 CAPLUS

DN 114:101726

TI Preparation of spirodilactam derivatives as monomers, ultraviolet stabilizers, and plasticizers

II

IN Wang, Pen Chung

PA Shell Oil Co., USA

SO U.S., 3 pp.

CODEN: USXXAM

DT Patent LA English

FAN.CNT 1

PRAI US 1989-392312 19890811

OS MARPAT 114:101726

GΙ

The title compds. (I; Z - Z3 = CR1R2; R1, R2 = H, alkyl, halo, Ph; X5, X6 = phenylene; X2, X5 = bond, alkylene, O, S, S02, dioxyphenylene, etc.; r, s = 0, 1), useful as monomers, UV stabilizers, and plasticizers, were prepd. Thus, a mixt. of 3,8-dibutyl-2,7 -dioxospiro[4.4]nonane-1,6-dione, aniline, and aniline hydrochloride was refluxed 6 h to give 86% 3,8-dibutyl-2,7 -diphenyl-2,7-diazaspiro[4.4] nonane-1,6-dione.

L8 ANSWER 11 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:82794 CAPLUS

DN 114:82794

TI Spirodilactam group-containing polycarbonate-polyesters

IN Wang, Pen Chung

PA Shell Oil Co., USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI PF GI	RAI US 1989-411774	А	19901106 19890925	us 1989-411774	19890925 <

The title heat-stable polymers contain groups I [Z = C(Z1)2; Z1 = H, lower alkyl, lower halo, Ph, or two Z groups give a 5-7 atom ring contg. up to two N, O or S atoms). A soln. of isophthaloyl chloride 1.1, and 2,2-di(4-chlorocarbonyloxyphenyl)propane 1.76 g in 95 mL CHCl3 was added over 30 min to a stirred mixt. of 1,6-di(4-hydroxyphenyl)-1,6-diazaspiro[4.4]nonane-2,7-dione 3-38 g, NaOH

1.0 g, and H2O 75 mL, stirred 5 min, mixed with 0.2 mL NEt3, and reacted 12 h at 25.degree., giving a polymer with limiting viscosity (room temp., in CHCl3) 0.31 dL/g.

L8 ANSWER 12 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1991:81805 CAPLUS

DN 114:81805

TI Preparation of 1,6-diaza[4.4]spirodilactams for thermosetting plastics

IN Wang, Pen Chung

PA Shell Internationale Research Maatschappij B. V., Neth.

SO Eur. Pat. Appl., 6 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN CNT 5

PATENT NO.			KIN	1D	DATE			AP	PLI	CATI	ON I	. OI	DATI	<b>E</b>		
									EP	199	90-2	0032	23	1990	00212	<
			CH,			, FR,	GB,	IT,	•							
US	4885	351		Α		1989	1205		US	19	89-3	3145	12	1989	90223	<
US	4886	863		Α		1989	1212		US	19	89-3	145	20			
US	4927	908		Α		1990	0522		US	19	89-3	145	19	1989	90223	<
US	4981	976		Α		1991	0101		US	19	89-3	3145	18	1989	90223	<
US	5082	923		Α		1992	0121		US	19	90-5	245	28	1990	00517	<
US	1989	-314	512			1989	0223									
US	1989	-314	518			1989	0223									
US	1989	-314	519			1989	0223									
US	1989	-314	520			1989	0223									
MAI	RPAT	114:	8180	5												
	PATEP US US US US US US US	PATENT EP 3845 EP 3845 US 4885 US 4886 US 4927 US 4981 US 5082 US 1989 US 1989 US 1989	PATENT NO	PATENT NO	PATENT NO. KIN	PATENT NO. KIND	PATENT NO. KIND DATE	PATENT NO. KIND DATE	PATENT NO. KIND DATE  EP 384518 A1 19900829 EP 384518 B1 19940824     R: BE, CH, DE, ES, FR, GB, IT,  US 4885351 A 19891205 US 4886863 A 19891212 US 4927908 A 19900522 US 4981976 A 19910101 US 5082923 A 19920121 US 1989-314512 19890223 US 1989-314519 19890223 US 1989-314520 19890223	PATENT NO. KIND DATE AP	PATENT NO. KIND DATE APPLICATION APPLICATI	PATENT NO. KIND DATE APPLICATION APPLICATI	PATENT NO. KIND DATE APPLICATION IN CONTROL OF STREET STRE	PATENT NO. KIND DATE APPLICATION NO.  EP 384518 Al 19900829 EP 1990-200323  EP 384518 Bl 19940824  R: BE, CH, DE, ES, FR, GB, IT, LI, NL  US 4885351 A 19891205 US 1989-314512  US 4986863 A 19891212 US 1989-314520  US 4927908 A 19900522 US 1989-314519  US 4981976 A 19910101 US 1989-314518  US 5082923 A 19920121 US 1990-524528  US 1989-314518 19890223  US 1989-314519 19890223  US 1989-314519 19890223  US 1989-314520 19890223	PATENT NO. KIND DATE APPLICATION NO. DATE  EP 384518 Al 19900829 EP 1990-200323 1990  EP 384518 Bl 19940824  R: BE, CH, DE, ES, FR, GB, IT, LI, NL  US 4885351 A 19891205 US 1989-314512 1983  US 4986863 A 19891212 US 1989-314520 1983  US 4927908 A 19900522 US 1989-314519 1983  US 4981976 A 19910101 US 1989-314518 1983  US 5082923 A 19920121 US 1990-524528 1990  US 1989-314518 19890223  US 1989-314519 19890223  US 1989-314520 19890223  US 1989-314520 19890223	PATENT NO. KIND DATE APPLICATION NO. DATE

Ι

II

$$\begin{array}{c|c}
 & C & Z \\
Y (CH_2)_m R_n (XR^1)_r N & Z \\
Z & N (R^1 X)_r R_n (CH_2)_m Y \\
Z & O
\end{array}$$

The title compds. I [R = phenylene, hydroxyphenylene, cyanophenylene; R1 = AΒ R, C<10 alkyl, X = bond, C<5 alkylene, O, S, SO2, CO, etc.; Y = H2C:CH, HC.tplbond.C, Z = (Z4)2C:, Z1 = H, alkyl, halo, Ph, etc.; m, n, r = 0, 1] were prepd. as monomers for thermosettting plastics. 1 ,6-Di(4-hydroxyphenyl)-1,6-diazaspiro[4. 4]nonane-2,7-dione, K2CO3, MePh and MeCONMe2 were heated to 150-160.degree., H2O was removed by azeotropic distn. with MePh, the temp. lowered to 80-90.degree., H2C:CHCH2Br in MeCONMe2 was added over 80 min and the reaction continued for 12 h at 90.degree.. The resulting diallylether analog underwent Claisen rearrangement by heating for 12 h at 200-205.degree. in N-methyl-2-pyrrolidone followed by cyanation by BrCN at 0.degree. in the presence of Et3N to give II. mixt. of equal parts of II and di(4-maleimidodiphenyl) methane was melted at 130-150.degree. and cured at 200.degree. for 2 h and at 220.degree. for 6 to give a hard, insol. crosslinked resin having a glass transition temp. >300.degree.. ANSWER 13 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN L8 ΑN 1991:63443 CAPLUS 114:63443 DN ΤI 1,6-Diazaspiro[4.4] nonane -2,7-dione derivatives for heat-resistant polyhydroxyethers TN Wang, Pen C. PΑ Shell Oil Co., USA U.S., 5 pp. Cont.-in-part of U.S. Ser. No. 175,023, abandoned. SO CODEN: USXXAM DT Patent LA English FAN.CNT 7 APPLICATION NO. DATE KIND DATE PATENT NO. \_\_\_\_\_ \_\_\_\_ \_\_\_\_\_ US 1988-249934 19880927 <--Α 19891219 US 4888408 CA 1989-608975 19890822 <--CA 1335596 A1 19950516 JP 1989-237400 19890914 <--JP 02160787 A2 19900620 EP 1989-202341 19890915 <--EP 359341 A2 19900321 A3 EP 359341 19910925 B1 19940216 EP 359341 R: BE, CH, DE, ES, FR, GB, IT, LI, NL PRAI US 1988-175023 19880330 US 1988-245433 19880916 19880916 US 1988-245434 19880916 US 1988-245618 19880916 US 1988-245619 19880927 US 1988-249934 US 1989-324870 19890317 The title polyhydroxyethers, contg. (A) 1,6-AΒ diaza[4.4]spirodilactam having oxyaryl-contg. substituents on each N and (B) 2-hydroxy-1,3-propylene groups, have relatively high glass transition temp. Refluxing a 1:1 (mol) mixt. of 1,6-di(4-glycidyloxyphenyl)-1,6-diazaspiro[ 4.4] nonane-2,7-dione and 1 ,6-di(4-hydroxyphenyl)-1,6-diazaspiro[4. 4]nonane-2,7-dione in EtPPh3.Br and heating for 6 h at 200.degree. gave a polyether with glass temp. 167.degree. C. ANSWER 14 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN  $^{18}$ 1991:62952 CAPLUS ΑN DN 114:62952 Preparation of spirolactams ΤI ΙN Wang, Pen C. PA Shell Oil Co., USA

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U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 172,000.
SO
    CODEN: USXXAM
DT
    Patent
LΑ
    English
FAN.CNT 7
                  KIND DATE
                                       APPLICATION NO.
                                                        DATE
    PATENT NO.
    -----
    US 4939251 A 19900703
CA 1335596 A1 19950516
                                      US 1988-245618
                                                        19880916 <--
PΙ
                                      CA 1989-608975
                                                        19890822 <--
                                                        19890914 <--
                                       JP 1989-237400
                    A2 19900620
    JP 02160787
                                                        19890915 <--
                                        EP 1989-202341
    EP 359341
                    A2 19900321
                    A3 19910925
    EP 359341
                    B1 19940216
    EP 359341
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
                                        US 1990-524412 19900516 <--
    US 5053518 A 19911001
                         19880323
PRAI US 1988-172000
    US 1988-172052
                         19880323
    US 1988-245433
                         19880916
                         19880916
    US 1988-245434
                         19880916
    US 1988-245618
                         19880916
    US 1988-245619
    US 1988-249934
                         19880927
    US 1989-324870
                          19890317
    MARPAT 114:62952
OS
    [4.4] Spirodilactams (ring N atoms in 1,6-positions; either or both N atoms
AΒ
    substituted with hydroxy-contg. C<30 groups) or [4.4]spirolactam-lactones
     (N atoms substituted with hydroxy-contg. C<30 group) are prepd. by
    reaction of 4-oxoheptanedioic acid or 1,6-dioxaspiro[4.4]nonane-2,7-dione
     (I) with H2NRX(R)rOH (R = C<10 aliph. or arom.; X = direct bond, C<8
    alkylene, O, S, SO2, CO, dioxyphenylene, 2,2-di(oxyphenyl)propane, or
    dioxydiphenylene). The compds. are useful, e.g., as curing agents for
    epoxy resins. Reaction of I 25 with p-aminophenol 34.9 g in 100 mL AcNMe2
    at 150.degree. with reflaxing for 12 h gave 1,6-di(4-hydroxyphenyl)-1,6-
    diazaspiro[4.4]nonene-2,7-dione (m.p. 320.degree.).
    ANSWER 15 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
L8
    1991:7456 CAPLUS
AN
    114:7456
DN
    Polymers containing alternating spirolactam and carbonyl moieties
ΤI
    Wang, Pen Chung
IN
    Shell Internationale Research Maatschappij B. V., Neth.
PA
    Eur. Pat. Appl., 9 pp.
SO
    CODEN: EPXXDW
DT
     Patent
    English
LΑ
FAN.CNT 5
                   KIND DATE
                                       APPLICATION NO. DATE
     PATENT NO.
     _____
                                        _____
                          _____
                                       EP 1989-203087
                                                        19891205 <--
    EP 372656
                     A2
                          19900613
PΙ
     EP 372656
                     A3
                          19920108
                     В1
                          19950607
     EP 372656
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
               А
                                   US 1988-279671
                                                        19881205 <--
                          19900306
    US 4906725
                                                        19890223 <--
                          19900320
                                        US 1989-314515
     US 4910285
                     Α
                                        US 1989-314514
                                                        19890223 <--
                     Α
    US 5049640
                          19910917
PRAI US 1988-279671
                          19881205
    US 1989-314514
                          19890223
                          19890223
     US 1989-314515
    US 1989-314516
                         19890223
GΙ
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Linear copolymers with high glass temps. contain spirolactam units I [R = AΒ divalent C.ltoreq.15 arom. and .ltoreq.2 arom. rings; R1 = R or divalent C.ltoreq.10 aliph; r = 0 or 1; X = direct bond C.ltoreq.8alkylene, O, S, SO2, CO, dioxyphenylene, 2,2-bis(oxyphenyl)propane, bis(oxyphenyl)sulfone, dioxydiphenylene; Z1-4 = CZ52, Z5 = H, C1-4 alkyl, or halo or such that of adjacent Z atoms together are part of a benzene ring] alternating with carbonyl, phenylenedicarbonyl, carbonyldiphenylene, and cyanophenylene units. A mixt. of 33.8 g 1 ,6-bis(4-hydroxyphenyl)-1,6-diazaspiro[4. 4]nonane-2,7-dione, 25.7 g (PhO)2CO,  $0.02~{
m g}$  ZnO and  $0.02~{
m g}$  Pb oxide was melted under N, and PhOH was distd. off at 180.degree./50 mm. After 0.5 h, the conditions were changed to 200.degree./15 mm, and after an addnl. 0.5 h, the pressure was reduced 2.3 mm. Heating further at 220.degree./2.3 mm for 0.5 h and 250.degree./2.3 mm for 2 h gave a hard polycarbonate with glass temp. 223.degree..

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L8 ANSWER 16 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1990:613287 CAPLUS

DN 113:213287

TI 1,6-Diaza[4.4]-spirodilactam epoxyalkyl ether-containing thermosetting resin compositions

IN Wang, Pen C.

PA Shell Oil Co., USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
ΡI	US 4933423	A	19900612	US 1989-334406	19890407 <				
	US 5001213	Α	19910319	US 1990-474954	19900205 <				
PRAI	US 1989-334406		19890407						
AB	Title improved g	lass t	ransition temp.	(Tg) compns. com	prise an epoxyalkyl				
	ether of 1,6-diaza[4.4]spirodilactam having hydroxyaryl-contg.								
	substituent on each spiro ring N and a diamine compd. A compn., prepd. by								
	heating a mixt. of 82% $1$ ,6-di(4-glycidyloxyphenyl)- $1$								
	,6-diazaspiro[4.4]nonane-2,								
	7-dione (prepd. from 10.14 g 1,6-di(4-hydroxyphenyl)-								
	1,6-diazaspiro[4	.4]non	ane						
	-2,7-dione and 150 mL epichlorohydrin with 0.05 g								
	ethvltriphenvlph	osphon	ium bromide) an	d 18% di(4-aminop	henyl)methane at				
	ethyltriphenylphosphonium bromide) and 18% di(4-aminophenyl)methane at 150.degree. and 200.degree. 2-stage process, had Tg 185.degree								

L8 ANSWER 17 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1990:592729 CAPLUS

DN 113:192729

TI Thermosetting resin compositions comprising cyanatoarylspirodilactam

```
Wang, Pen C.
IN
    Shell Oil Co., USA
PΑ
SO
    U.S., 6 pp.
     CODEN: USXXAM
DT
     Patent
LΑ
     English
FAN.CNT 5
                                         APPLICATION NO. DATE
     PATENT NO. KIND DATE
     LAIENT NO. KIND DATE
                                       US 1989-314519
                                                           19890223 <--
    US 4927908 A 19900522
PΙ
                                         EP 1990-200323 19900212 <--
                     Al 19900829
     EP 384518
                 B1 19940824
     EP 384518
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
     CA 2010537 AA 19900823 CA 1990-2010537 19900221 <-- JP 02275881 A2 19901109 JP 1990-38566 19900221 <--
PRAI US 1989-314512
                           19890223
                          19890223
     US 1989-314518
     US 1989-314519
                           19890223
     US 1989-314520
                           19890223
     The title compns. given thermosetting resins with high glass temps.
     comprise (a) a cyanatoaryl-substituted 1,6-
     diaza[4.4]spirodilactam having cyanatoaryl-contg. substituents on each
     spiro ring N atom and (b) .gtoreq.\mathbf{1} addnl. polymerizable monomer
     having 2 substituents with multiple bonds between adjacent atoms.
     Reaction of Na salt of 1,6-di(4-hydroxyphenyl)-1,6-
     diazaspiro[4.4] nonane-2,7
     -dione with allyl chloride, subjecting to Claisen rearrangement at
     200.degree., and treating with excess cyanogen bromide and Et3N gave
     1,6-di(4-cyanato-3-allylphenyl)-1,6-diazaspiro
     [4.4] nonane-2,7-dione, which was
     mixed with an equal portion of di(4-maleimidophenyl)methane, melted at
     130-150.degree., heated at 200.degree. for 2 h and at 220.degree. for an
     addnl. 6 h giving a hard insol. resin with glass transition temp.
     >300.degree..
     ANSWER 18 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
r_8
     1990:592177 CAPLUS
AN
DN
     113:192177
     1,6-Diazaspiro[4,4]nonane
TТ
     -2,7-dione derivatives and their cured compositions
     Wang, Pen Chung
IN
     Shell Internationale Research Maatschappij B. V., Neth.
PA
     Eur. Pat. Appl., 11 pp.
SO
     CODEN: EPXXDW
DT
     Patent
LA
     English
FAN.CNT 7
                                          APPLICATION NO. DATE
                 KIND DATE
     PATENT NO.
                                           _____
     A2 19900321
                                          EP 1989-202341 19890915 <--
     EP 359341
PΙ
                A3 19910925
B1 19940216
     EP 359341
     EP 359341
         R: BE, CH, DE, ES, FR, GB, IT, LI, NL
     US 4847388 A 19890711 US 1988-245433 19880916 <--
US 4847388 A 1989U/11
US 4889907 A 19891226
US 4895942 A 19900123
US 4939251 A 19900703
US 4888408 A 19891219
PRAI US 1988-245433 19880916
US 1988-245618 19880916
US 1988-245619 19880916
                                           US 1988-245619 19880916 <--
                                           US 1988-245434 19880916 <--
                                           US 1988-245618 19880916 <--
                                           US 1988-249934 19880927 <--
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US	1988-249934	19880927
US	1989-324870	19890317
US	1988-171998	19880323
US	1988-172000	19880323
US	1988-172052	19880323
US	1988-172054	19880323
US	1988-175023	19880330
US	1988-185574	19880425

GΙ

$$Z^{1}$$
 $Z^{2}$ 
 $Z^{3}$ 
 $Z^{4}$ 
 $Z^{4}$ 
 $Z^{4}$ 
 $Z^{5}$ 
 $Z^{1}$ 
 $Z^{2}$ 
 $Z^{4}$ 
 $Z^{5}$ 
 $Z^{6}$ 
 $Z^{7}$ 
 $Z^{7$ 

AB 1,6-Diazaspiro[4,4] nonane

derivs. X[LY(MY)p]mLX in which L is I; Z1-Z4 are independently CZ52 in which Z5 is independently H or C1-4 alkyl, or 2 adjacent Z5 form part of a benzene ring; each R is independently II or III; A is C1-4 alkyl or halogen, n is 0, 1, or 2, and the free valence bond of the C in ring A is linked to a ring N of I; m .gtoreq.0; each X is independently H, glycidyl, acrylyl, methacrylyl, allyl, or propargyl; Y is 2-hydroxy-1,3-propanediyl; each M independently a divalent group for a dihydric arom. alc.; p is av. 0-3; when R is bivalent II and Z2 and Z3 are CH2 and Z1 and Z4 are independently H or C1-4 alkyl, then each X .noteq. glycidyl. Thus, 100 g 4-oxoheptanedioic acid, 260.7 g 2-(4-aminophenyl)-2-4-hydroxyphenyl)propane, and 250 mL N-methyl-2-pyrrolidine (IV) were stirred at 160.degree. for 72 h, cooled, IV was removed, and MeOH was added to ppt. the product. NMR indicated a major amt. of 1,6-di[4-(4-hydroxyphenylisopropyl)phenyl]-

1,6-diazaspiro[4,4]nonane

(V), which was reacted with allyl bromide, then heated with an equal wt. of bie(4-maleimidophenyl)methane, at 170.degree. for 2 h, at 210.degree. for 2 h, and 250.degree. for 6 h to give a cured product (insol. in ammonia) having glass temp. 312.degree..

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L8 ANSWER 19 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
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AN 1990:533125 CAPLUS

DN 113:133125

TI Polyspirodilactamsulfone thermoplastics

IN Wang, Pen C.

PA Shell Oil Co., USA

so U.S., 6 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI US 4935489	А	19900619	US 1989-324871	19890317 <	
DDAT IIC 1080-32/871		19890317			

AB The title copolymers, which have relatively high glass transition temps. and which are processable without undue degrdn., comprise aryl sulfone

repeating units, 1,6-diazaspirodilactam oxyaryl repeating units, and, optionally, dioxyphenyl compd. repeating units. Thus, 16.9 g of 1,6-di(4-hydroxyphenyl)-1,6-diazaspiro[

4.4] nonane-2, 7-dione, 250 mL DMSO,

50 mL PhMe, and 4 g NaOH were heated to 130-140.degree., the water formed was removed by azeotropic distn. with PhMe, the mixt. heated to 150-155.degree. for 1 h, the mixt. cooled to 50-60.degree., 14.3 g di(4-chlorophenyl) sulfone added, the mixt. rapidly heated to 145.degree. for 6 h, heated to 160.degree. for 0.5 h, cooled, poured into 15 L H2O which had been acidified with 5.0 g oxalic acid, and filtered, producing a polyspirodilactamsulfone having glass transition temp. 225.degree..

L8 ANSWER 20 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1990:498700 CAPLUS

DN 113:98700

TI 1,6-Diaza[4.4]spirodilactam derivative-based thermosetting compositions

IN Wang, Pen C.

PA Shell Oil Co., USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

GΙ

AB The title compns., giving cured resins with high glass temps. and good phys. properties, comprise an arylcyclobutenylalkyl ether of a 1,6-diaza[4.4]spirodilactam having a hydroxyaryl substituent on each spiroring N atom and a monomer having .gtoreq.2 polymerizable groups. The reaction of 1,6-bis(4-hydroxyphenyl)-1,6-

Ι

diazaspiro[4.4]nonane-2,7

-dione with benzocyclobutenylmethyl chloride in AcNMe2 gave I which was mixed with an equal amt. of bis(4-maleimidophenyl)methane and heated 6 h at 200-220.degree. to give a crosslinked resin having glass temp. 276.degree..

- L8 ANSWER 21 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1990:441552 CAPLUS
- DN 113:41552
- TI Polyarylate polymers
- IN Wang, Pen C.
- PA Shell Oil Co., USA
- SO U.S., 6 pp. CODEN: USXXAM

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DT
    Patent
LΑ
    English
FAN.CNT 5
                                        APPLICATION NO. DATE
                   KIND DATE
    PATENT NO.
     _____
                                                         _____
                                         _____
    US 4910285 A 19900320
CA 2004474 AA 19900605
                                         US 1989-314515
                                                          19890223 <--
PΙ
                                         CA 1989-2004474 19891204 <--
                                         EP 1989-203087
                                                          19891205 <--
    EP 372656
                    A2 19900613
                    A3 19920108
    EP 372656
    EP 372656
                    B1 19950607
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
    JP 02199121 A2 19900807 JP 1989-314503
                                                        19891205 <--
    ES 2072889
US 4977235
                    T3 19950801
                                        ES 1989-203087 19891205 <--
                                         US 1989-454727 19891221 <--
                    A 19901211
PRAI US 1988-279671
                          19881205
    US 1989-314514
                          19890223
    US 1989-314515
                          19890223
    US 1989-314516
                          19890223
    Polyesters with high glass transition temp. (Tg) and useful for manuf. of
AΒ
     shaped articles comprise alternating moieties derived from an arom.
    dicarboxylic acid halide, from a hydroxyaryl-substituted {\bf 1}
     ,6-diaza[4.4]spirodilactam and optionally, from a di(hydroxyphenyl) compd.
     Thus, stirring 1,6-di(hydroxyphenyl)-1,6-
     diazaspiro[4.4]nonane-2,7
     -dione 10.14, Et3N+(CH2Ph) Cl- 0.2, NaHSO3 0.02, NaOH 2.64 g in 135 mL H2O
     and 70 mL CH2ClCHCl2 (I) at 1200 rpm and <10.degree. under N, adding 6.
     1 g isophthaloyl chloride in 40 mL I over 30 min, and polymg. for
     4 h gave a polymer having Tg 231.degree.. A cup manufd. from this polymer
    had good dimensional stability at elevated temp.
    ANSWER 22 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
L8
AN
    1990:425054 CAPLUS
    113:25054
DN
    Unsaturated derivatives of hydroxyaryl-substituted 1,6-
ΤI
    diazaspiro[4.4] nonane-2,7
     -diones and their manufacture
    Wang, Pen C.
IN
    Shell Oil Co., USA
PA
SO
    U.S., 6 pp.
    CODEN: USXXAM
DT
    Patent
LA
    English
FAN.CNT 7
    PATENT NO.
                   KIND DATE
                                       APPLICATION NO. DATE
     _____
                                         ______
    US 4847388 A 19890711
US 5013805 A 19910507
CA 1335596 A1 19950516
JP 02160787 A2 19900620
EP 359341 A2 19900321
                                        US 1988-245433
                                                          19880916 <--
PΙ
                                        US 1989-357156
                                                          19890526 <--
                                       CA 1989-608975
                                                          19890822 <--
                                         JP 1989-237400
                                                          19890914 <--
                                         EP 1989-202341 19890915 <--
    EP 359341
                    A3
                          19910925
                          19940216
                     В1
     EP 359341
        R: BE, CH, DE, ES, FR, GB, IT, LI, NL
PRAI US 1988-245433 19880916
                          19880916
    US 1988-245434
                          19880916
    US 1988-245618
                          19880916
    US 1988-245619
                          19880927
    US 1988-249934
    US 1989-324870
                          19890317
    CASREACT 113:25054; MARPAT 113:25054
OS
    The title compds., giving insol. products with high glass-transition
AΒ
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temp., useful for surface coatings, adhesive formulations, and

fiber-reinforced composites, are prepd. Thus, heating a mixt. of 1,6-bis(4-hydroxyphenyl)-1,6-diazaspiro[ 4.4] nonane-2,7-dione and K2CO3 in DMF-PhCH3 to 150-160.degree. with concurrent removal of water, adding propargyl bromide in DMF at 80-90.degree., and heating at 100.degree. for 12 h produced 1,6-bis[4-(propargyloxy)phenyl]-1,6diazaspiro[4.4] nonane-2,7 -dione, which after curing at 210.degree. for 12 h had glass temp. 305.degree.. ANSWER 23 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN 1990:407036 CAPLUS Polyhydroxypolyesters containing 1,6-diazaspiro[ 4.4] nonane-2,7-dione residues Wang, Pen C. Shell Oil Co., USA U.S., 6 pp. Cont.-in-part of U.S. Ser. No. 185,574, abandoned. CODEN: USXXAM Patent English FAN.CNT 7 APPLICATION NO. DATE KIND DATE PATENT NO. -----\_\_\_\_\_<del>\_</del> US 1988-245619 19880916 <--US 4889907 Α 19891226 19890822 <--CA 1989-608975 CA 1335596 A1 19950516 19890914 <--JP 1989-237400 A2 19900620 JP 02160787 EP 1989-202341 19890915 <--EP 359341 A2 19900321 A3 EP 359341 19910925 19940216 В1 EP 359341 R: BE, CH, DE, ES, FR, GB, IT, LI, NL PRAI US 1988-171998 19880323 19880323 US 1988-172054 19880425 US 1988-185574 19880916 US 1988-245433 19880916 US 1988-245434 US 1988-245618 19880916 US 1988-245619 19880916 19880927 US 1988-249934 US 1989-324870 19890317 Polyhydroxypolyethers contg. residues of 2,2-di(hydroxyphenyl)propane and a 1,6-diazaspiro[4.4]spirodilactam having oxyaryl substituents on each spiro ring N atom, which residues are connected by 2-hydroxy-1,3-propylidene bridges, are prepd. These polymers have high glass transition temps. (.gtoreq.155.degree.) and have applications similar to those of phenolic resins, but are also useful in engineering applications (e.g., containers for food and drink which are exposed to elevated temps.) (no data). Thus, a mixt. of 2,2-di(4qlycidyloxyphenyl)propane 3.4, 1,6-di(4-hydroxyphenyl)-1 ,6-diazaspiro[4.4]nonane-2, 7-dione 3.38, and Et(Ph)3PBr 0.1855 g was stirred and heated to 220.degree. for 6 h, producing a hard polymer having glass transition temp. 157.degree.. ANSWER 24 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN 1990:236007 CAPLUS 112:236007 Alkenylhydroxyphenyl derivatives of 1,6-diazaspiro[4.4]dilactams Wang, Pen C. Shell Oil Co., USA U.S., 5 pp. CODEN: USXXAM

rsAN

DN

TI

ΙN

PA

SO

DT

LΑ

PΙ

AΒ

L8 AN

DN

TI

ΙN

PASO

DT Patent LΑ English FAN.CNT 5 APPLICATION NO. DATE PATENT NO. KIND DATE \_\_\_\_\_ 19891212 US 1989-314520 19890223 <--PΙ US 4886863 Α US 4968811 Α 19901106 US 1989-356157 19890524 <--EP 1990-200323 19900212 <--EP 384518 Α1 19900829 19940824 EP 384518 В1 R: BE, CH, DE, ES, FR, GB, IT, LI, NL CA 1990-2010537 19900221 <--19900823 CA 2010537 AAJP 1990-38566 19900221 <--JP 02275881 A2 19901109 19890223 PRAI US 1989-314512 US 1989-314518 19890223 US 1989-314519 19890223 US 1989-314520 19890223 OS CASREACT 112:236007; MARPAT 112:236007 GΙ

$$\begin{array}{c} \text{CH}_2\text{CH} = \text{CH}_2 \\ \text{CH}_2 = \text{CHCH}_2 \\ \text{O} \end{array}$$

AB The title compds., useful in the prodn. of cured resins, are prepd. Heating 202.8 g 1,6-bis(4-hydroxyphenyl)-1,6-

diazaspiro[4.4] nonane-2,7

-dione (I), 91.22 g K2CO3, 200 mL PhMe, and 1 L AcNMe2 at 150-160.degree. with distn. of H2O, cooling to 90.degree., adding 200.2 g allyl bromide in 200 mL AcNMe2 over 80 min, and heating 12 h at 90.degree. gave I diallyl ether, heating of which in N-methylpyrrolidone at 200-205.degree. for 12 h gave >90% dilactam II. Heating a 1: 1 mixt. of II and N,N'-(methylene-di-p-phenylene)bismaleimide gave a tough, crosslinked resin.

L8 ANSWER 25 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1990:199311 CAPLUS

DN 112:199311

TI Preparation annd polymerization of unsaturated spirodilactams

IN Wang, Pen C.

PA Shell Oil Co., USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent LA English

FAN.CNT 5

r P	M.CNI 3				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
			<del></del>		
PΙ	US 4885351	Α	19891205	US 1989-314512	19890223 <
	US 4940801	Α	19900710	US 1989-356158	19890524 <
	EP 384518	A1	19900829	EP 1990-200323	19900212 <
	EP 384518	B1	19940824		
				T T 177	

R: BE, CH, DE, ES, FR, GB, IT, LI, NL

```
CA 2010537
                     AA 19900823
                                          CA 1990-2010537 19900221 <--
     JP 02275881
                      A2
                           19901109
                                          JP 1990-38566
                                                           19900221 <--
PRAI US 1989-314512
                           19890223
     US 1989-314518
                           19890223
     US 1989-314519
                           19890223
     US 1989-314520
                           19890223
OS
     MARPAT 112:199311
AB
     1,6-Diaza[4.4]spirodilactams bearing unsatd. groups on each
     spiro ring N atom give cured products when heated with curing agents at
     >150.degree.. Heating 150 g 4-oxoheptanedioic acid, 100 g allylamine, 200
     mL AcNMe2, and 50 mL PhMe at 140-160.degree. with azeotropic distn. of H20
     gave 200.8 g N, N'-diallyl-1,6-diazaspiro[4.
     4]nonane-2,7-dione (I). Heating 50 parts I
     and 50 parts N,N'-(methylenedi-p-phenylene)bismaleimide at 200.degree. for
     4 h and 220.degree. for 2 h gave a crosslinked product with glass temp.
     237.degree..
L8
    ANSWER 26 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
     1990:77163 CAPLUS
AN
DN
     112:77163
     Quinolone- and naphthyridone-carboxylic acid derivatives, method for their
ΤI
     preparation and antibacterial agents and food additives containing them
     Petersen, Uwe; Schenke, Thomas; Grohe, Klaus; Schriewer, Michael; Haller,
IN
     Ingo; Metzger, Karl Georg; Endermann, Rainer; Zeiler, Hans Joachim
PA
     Bayer A.-G., Fed. Rep. Ger.
     Eur. Pat. Appl., 42 pp.
SO
     CODEN: EPXXDW
DT
    Patent
LA
    German
FAN.CNT 1
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
                     ____
                           _____
    EP 326916
                     A2
PΙ
                           19890809
                                         EP 1989-101242
                                                          19890125 <--
    EP 326916
                     А3
                           19900516
        R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, NL, SE
    DE 3814517
                     A1
                           19890817
                                         DE 1988-3814517 19880429 <--
    JP 01226883
                      A2
                           19890911
                                          JP 1989-19946
                                                          19890131 <--
    JP 2788043
                     B2
                           19980820
    FI 8900503
                     Α
                           19890806
                                         FI 1989-503
                                                          19890202 <--
                     В
    FI 94524
                          19950615
    FI 94524
                    С
                          19950925
    HU 49343
                    A2 19890928
                                         HU 1989-544
                                                          19890203 <--
    HU 209300
                    В
                           19940428
    HU 55357
                    A2
                           19910528
                                         HU 1990-6247
                                                          19890203 <--
    HU 207292
                    В
                           19930329
    US 5173484
                    Α
                           19921222
                                         US 1991-699880
                                                          19910514 <--
    US 5284842
                           19940208
                    Α
                                         US 1992-931746
                                                          19920818 <--
    US 5453422
                    A 19950926
                                         US 1993-151603
                                                          19931112 <--
PRAI DE 1988-3803478
                          19880205
    DE 1988-3814517
                          19880429
    DE 1988-3802478
                           19880205
    US 1989-298459
                           19890118
    US 1991-699880
                           19910514
    US 1992-931746
```

19920818

CASREACT 112:77163; MARPAT 112:77163

OS

GΙ

The title compds. [I; R1 = Me, Et, Pr, Me2CH, cyclopropyl, vinyl, HOCH2CH2, FCH2CH2, MeO, amino, Ph, 4-FC6H4, 2,4-F2C6H4; R2 = H, C1-4 alkyl, 5-methyl-2-oxo-1,3-dioxol-4-ylmethyl; R3 = H, amino; R4 = Q1, Q2, Q3; R5 = H, C1-3 alkyl, C3-6 cycloalkyl; R6 = H, halo, Me, CN, NO2; A = N, CR6; X1, Y = H, amino, OH, alkoxy, acyloxy, etc.; X2, X3 = O, S, NH, NMe; l = 0-2; m = 1, 2; n = 1], useful as antibacterials/feed additives, were prepd. Thus, a mixt. of 8-chloro-1-cyclopropyl-6,7-difluoro-1,4-dihydro-4-oxo-3-quinolinecarboxylic acid, 1,4-diazabicyclo[2.2.2]octane, and 3-hydroxy-3-(methylaminomethyl)pyridine hydrochloride were refluxed in MeCN/DMF for 1 h to give 7-aminoquinolone deriv. II. II inhibited Staphylococcus aureus with an MIC of 0.062 mg/L.

L8 ANSWER 27 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1961:90061 CAPLUS

DN 55:90061

OREF 55:16991a-c

TI Water-resistant greases

IN Potter, Ralph A.

PA Union Oil Co. of California

DT Patent

LA Unavailable

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 2980612 19610418 US <-

AB Non-soap greases are prepd. from mineral or synthetic ester lubricating oils, colloidal SiO2 or Al2O3 thickeners, and a polyoxyethylene deriv. of a sorbitan partial ester of a higher fatty acid (product of the reaction of ethylene oxide with an ester of sorbitol and Cl2-18 fatty acids). Colloidal SiO2 9, polyoxyethylene sorbitan tristearate 1, and a paraffinic lubricating oil (viscosity index 86, 52.5 Saybolt Universal sec. at 210.degree.F.) 90 parts by wt. were mixed with a spatula on a steel plate, heated to 320.degree.F. over a period of 10 min., and cooled with working. The product had an ASTM penetration of 260 at 77.degree.F. and showed no

breakdown or tendency to emulsify in a boiling-water test. Substitution of a synthetic-base oil (ester of sebacic acid and 2-ethylhexanol) gave a product with unworked penetration 270 at 77.degree.F. Greases with ASTM unworked penetrations of 240-65 at 77.degree.F. were similarly prepd. from the above mineral oil or other paraffinic mineral oils by substituting monooleate, monostearate, trioleate, and dilaurate esters for the tristearate.

```
L8
     ANSWER 28 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
AN
     1961:90060 CAPLUS
DN
     55:90060
OREF 55:16991a
TI Lubricating grease
IN McCarthy, Paul R.; McGrath, Joseph J.
PA Gulf Research & Development Co.
DT Patent
LA Unavailable
FAN.CNT 1
    PATENT NO. KIND DATE
                                       APPLICATION NO. DATE
PI US 2979462 19610411 US
                                                                  <--
AB A spiro II is used as the oil-thickening agent.
^{18}
    ANSWER 29 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
AN
    1961:90059 CAPLUS
DN 55:90059
OREF 55:16991a
ΤI
    Lubricating grease
IN
    McGrath, Joseph J.; Pellegrini, John P., Jr.
PA
    Gulf Research & Development Co.
DT
    Patent
LΑ
    Unavailable
FAN.CNT 1
     PATENT NO.
                 KIND DATE
                                        APPLICATION NO. DATE
                                    TO
                    ----
PΙ
    US 2979461
                                        US
                          19610411
AB
    A biphenyldicarboxylic acid is used in place of II.
1.8
    ANSWER 30 OF 30 CAPLUS COPYRIGHT 2003 ACS on STN
    1961:90058 CAPLUS
AN
    55:90058
DN
OREF 55:16990h-i,16991a
TI Lubricating grease
IN
    McCarthy, Paul R.; McGrath, Joseph J.
PΑ
    Gulf Research & Development Co.
DT
    Patent
LΑ
    Unavailable
FAN.CNT 1
    PATENT NO.
                KIND DATE
                                       APPLICATION NO. DATE
    US 2979460 19610411 US
                                        -----
PΙ
    US 2979460
AΒ
    Lubricating oil is thickened by adding a secondary organophilic siliceous
    (I) material and a 5,5-disubstituted hydantoin (II), where the
    substituents are alkyl, aryl, alkaryl, aralkyl, or cycloalkyl radical, and at least 1 is a carbocyclic radical. The I may be a bentonite-org. base
    compd., such as the bentones and finely divided organosiliceous solids,
    such as the Estersils. The wt. ratio of II to I is about 1:1 to 20:1,
    with the total wt. being 10-60% of the grease compn.
```

1990:553050 CAPLUS AN 113:153050 DN Preparation of spirolactam derivatives as intermediates for peptide ΤI agonists and antagonists of substance P Ward, Peter; Ewan, George Blanch TN Glaxo Group Ltd., UK PA Eur. Pat. Appl., 26 pp. SO CODEN: EPXXDW DTPatent English LΑ FAN.CNT 1 APPLICATION NO. DATE PATENT NO. KIND \_\_\_\_\_ EP 1989-307442 19890721 19900328 Α1 EP 360390 PΙ R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE A2 19900514 JP 1989-190732 19890725 JP 02124887 US 1989-384685 19890725 19921124 US 5166136 Α PRAI GB 1988-17711 19880725 19890308 GB 1989-5286 MARPAT 113:153050 OS

$$(CH_2)_{m}$$

$$(CH$$

Title derivs. I and II [R = H or conventional N-protecting group; R1 = H or conventional CO2H-protecting or -activating group; R2 = sidechain of naturally occurring amino acid (may be R and/or S); m, n = 1, 2; addnl. provisos] are prepd. as intermediates for antagonists and agonists, resp., of substance P (no data). Thus, (2S)-2-propenylproline-HCl was prepd. and converted in 2 steps to spirocyclic hydroxy lactone III; reductive amination of III with leucine Me ester and NaBH3CN gave I [R = PhCH2O2C, R1 = Me, R2 = (S)-CH2CHMe2, m = n = 1]. The latter was converted via both liq.- and solid-phase techniques to the peptide analog H-Arg-Pro-Lys-Pro-Glu(NH2)-Glu(NH2)-Phe-Phe-X-Trp-NH2 [X = 4-methyl-1-oxo-2(S)-(6-oxo-5(S)-1,7-diazaspiro [4.4]nonan-7-yl)pentyl].

## => d hit

GΙ

L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2003 ACS on STN

AB Title derivs. I and II [R = H or conventional N-protecting group; R1 = H or conventional CO2H-protecting or -activating group; R2 = sidechain of naturally occurring amino acid (may be R and/or S); m, n = 1, 2; addnl. provisos] are prepd. as intermediates for antagonists and agonists, resp., of substance P (no data). Thus, (2S)-2-propenylproline-HCl was prepd. and

converted in 2 steps to spirocyclic hydroxy lactone III; reductive amination of III with leucine Me ester and NaBH3CN gave I [R = PhCH2O2C, R1 = Me, R2 = (S)-CH2CHMe2, m = n = 1]. The latter was converted via both liq.- and solid-phase techniques to the peptide analog H-Arg-Pro-Lys-Pro-Glu(NH2)-Glu(NH2)-Phe-Phe-X-Trp-NH2 [X = 4-methyl-1-oxo-2(S)-(6-oxo-5(S)-1,7-diazaspiro [4.4]nonan-7-yl)pentyl]. Allergy inhibitors Analgesics

Inflammation inhibitors
 (substance P analogs, prepn. of spirolactam derivs. as intermediates
 for)

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

1,7-Diazaspiro[4.4]nonane-7-acetamide, 1-[N-[N-(5-amino-1-oxopenty1)-L-phenylalany1]-N-[2-amino-2-oxo-1-(phenylmethy1)ethy1]-.alpha.-(2-methylpropy1)-6-oxo-, [5S-[5R\*,7[R\*(R\*)]]]- (9CI)

SQL 6

MF C45 H59 N7 O6

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):39

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[2-amino-1(cyclohexylmethyl)-2-oxoethyl]-1-[N-[N-(5-amino-1-oxopentyl)-Lphenylalanyl]-L-phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-,
[5S-[5R\*,7[R\*(R\*)]]]- (9CI)

SQL 6

MF C45 H65 N7 O6

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[1-(aminocarbonyl)-3-phenylpropyl]-1-[N-(5-amino-1-oxopentyl)-L-phenylalanyl]-L-phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, [5S-[5R\*,7[R\*(R\*)]]]-(9CI)

SQL 6

MF C46 H61 N7 O6

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-[N-(5-amino-1-oxopentyl)-L-phenylalanyl]-L-phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, [5S-[5R\*,7[R\*(R\*)]]]-(9CI)

SQL 6 MF C47 H60 N8 O6

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

L-Phenylalaninamide, L-prolyl-L-glutaminyl-L-glutaminyl-N-[2-[7-[1[[[1-(aminocarbonyl)-3-(methylthio)propyl]amino]carbonyl]-3-methylbutyl]-6oxo-1,7-diazaspiro[4.4]non-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-,
[5S-[1(R\*),5R\*,7[R\*(R\*)]]]- (9CI)

SQL 8 MF C51 H73 N11 O10 S

PAGE 2-A

$$\begin{array}{c}
C = 0 \\
\downarrow \\
H_2N - C \\
\downarrow \\
\downarrow \\
H_2N - C
\end{array}$$

$$\begin{array}{c}
C + CH_2 - Ph \\
C + CH_2 - CH_2 - CH - NH - C
\end{array}$$

$$\begin{array}{c}
C + CH_2 - Ph \\
\downarrow \\
C + CH_2 - CH
\end{array}$$

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Substance P, 9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)1,7-diazaspiro[4.4]nonane-7-acetic acid]- (9CI)
SQL 11

MF C68 H104 N18 O13 S

PAGE 1-B

PAGE 2-A

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN Substance P, 9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)

SQL 11

Absolute stereochemistry.

PAGE 1-B

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

SQL 6

MF C41 H59 N7 O6 S

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[1-(aminocarbonyl)-3 (methylthio)propyl]-1-[N-[N-(5-amino-1-oxopentyl)-L-phenylalanyl]-L phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, [5S-[5R\*,7[R\*(S\*)]]] (9CI)

SQL 6

MF C41 H59 N7 O6 S

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, .alpha.-(2-methylpropyl)-6oxo-1-[(phenylmethoxy)carbonyl]-, methyl ester, (.alpha.S,5S)- (9CI)
MF C22 H30 N2 O5

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, .alpha.-(2-methylpropyl)-6-oxo-1-[(phenylmethoxy)carbonyl]-, methyl ester, (.alpha.S,5R)- (9CI)

MF C22 H30 N2 O5

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[(9H-fluoren-9-ylmethoxy)carbonyl]-.alpha.-(2-methylpropyl)-6-oxo-, [S-(R\*,R\*)]- (9CI)

MF C28 H32 N2 O5

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[(9H-fluoren-9ylmethoxy)carbonyl]-.alpha.-(2-methylpropyl)-6-oxo-, pentafluorophenyl
ester, [S-(R\*,R\*)]- (9CI)

MF C34 H31 F5 N2 O5

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN 2,6-Diazaspiro[4.5]decane-2-acetic acid, .alpha.-(2-methylpropyl)-1-

oxo-6-[(phenylmethoxy)carbonyl]-, methyl ester, [S-(R\*,R\*)]- (9CI) MF C23 H32 N2 O5

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 2,6-Diazaspiro[4.5]decane-2-acetic acid, .alpha.-(2-methylpropyl)-1-oxo-, methyl ester, [S-(R\*,R\*)]- (9CI)

MF C15 H26 N2 O3

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetamide, 1-[N-[N-(5-amino-1-oxopentyl)-L-phenylalanyl]-N-[1-(hydroxymethyl)-3-methylbutyl]-.alpha.-(2-methylpropyl)-6-oxo-, [5S-[5R\*,7[R\*(R\*)]]]- (9CI)

SQL 6

MF C42 H62 N6 O6

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

SQL 6

MF C41 H55 N7 O7 S

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A

PAGE 2-A

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 2,6-Diazaspiro[4.5]decane-2-acetamide, N-[1-(aminocarbonyl)-3 (methylthio)propyl]-6-[N-[N-(5-amino-1-oxopentyl)-L-phenylalanyl]-L phenylalanyl]-.alpha.-(2-methylpropyl)-1-oxo-, [5S-[2[R\*(R\*)],5R\*]] (9CI)

SQL 6

MF C42 H61 N7 O6 S

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN Physalemin, 2-L-proline-6-L-alanine-9-deglycine-10-[(5S)-6-oxo-L-alpha.-(2-methylpropyl)-1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)

SQL 11

MF C68 H86 N14 O16

PAGE 2-A

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN Substance P, 1-(5-oxo-L-proline)-3-L-aspartic acid-9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)-1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)

SQL 11

C71 H91 N15 O16 MF

PAGE 2-A

- L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
  IN Physalemin, 6-L-glutamine-9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2methylpropyl) -1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-Ltryptophanamide- (9CI)
- SQL 11
- MF C68 H87 N15 O17

PAGE 1-B

$$- \bigvee_{\substack{N \\ H}} o$$

PAGE 2-A

- L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
- IN Physalemin, 6-L-methionine-9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)-1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)
- SQL 11
- MF C68 H88 N14 O16 S

PAGE 1-B

PAGE 2-A

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-.alpha.-(2-methylpropyl)-6-oxo-1-(N-L-phenylalanyl-L-phenylalanyl)-, [5S-[5R\*,7[R\*(R\*)]]]- (9CI)

SQL 5

MF C42 H51 N7 O5

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

L-Phenylalaninamide, L-glutaminyl-L-glutaminyl-N-[2-[7-[1-[[[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]carbonyl]-3-methylbutyl]-6-oxo-1,7-diazaspiro[4.4]non-1-yl]-2-oxo-1-(phenylmethyl)ethyl]-,

[5S-[1(R\*),5R\*,7[R\*(R\*)]]]- (9CI)

SQL 7

MF C52 H67 N11 09

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN Substance P, 1-de-L-arginine-2-de-L-proline-9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)-1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)

SQL 9

MF C63 H86 N14 O11

PAGE 2-A

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]-1-(2-amino-1-oxo-3-phenylpropyl)-.alpha.-(2-methylpropyl)-6-oxo-, [5S-[1(R\*),5R\*,7[R\*(R\*)]]]- (9CI)

SQL 4

MF C33 H42 N6 O4

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN L-Phenylalaninamide, N2-[(1,1-dimethylethoxy)carbonyl]-L-lysyl-N-[2[7-[1-[[[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]carbonyl]-3methylbutyl]-6-oxo-1,7-diazaspiro[4.4]non-1-yl]-1-[(4hydroxyphenyl)methyl]-2-oxoethyl]-, [5S-[1(R\*),5R\*,7[R\*(R\*)]]]-,
trifluoroacetate (salt) (9CI)

SQL 6

MF C53 H71 N9 O9 . x C2 H F3 O2

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 2

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN L-Phenylalaninamide, N2-acetyl-L-lysyl-N-[2-[7-[1-[[[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]carbonyl]-3-methylbutyl]-6-oxo-1,7-

diazaspiro [4.4]non-1-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-,  $[5S-[1(R^*), 5R^*, 7[R^*(R^*)]]$ -, trifluoroacetate (salt) (9CI)

SQL 6

MF C50 H65 N9 O8 . x C2 H F3 O2

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 2

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN L-Phenylalaninamide, N2-[(1,1-dimethylethoxy)carbonyl]-L-glutaminyl-Llysyl-N-[2-[7-[1-[[[2-amino-1-(1H-indol-3-ylmethyl)-2oxoethyl]amino]carbonyl]-3-methylbutyl]-6-oxo-1,7-diazaspiro[4.4]non-1-yl]1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-, [5S-[1(R\*),5R\*,7[R\*(R\*)]]](9CI)

SQL 7

MF C58 H79 N11 O11

PAGE 1-B

$$\begin{array}{c} {\rm O} \\ || \\ -- \, {\rm CH}_2 - \, {\rm C} - \, {\rm NH}_2 \end{array}$$

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN Substance P, 1-(5-oxo-L-proline)-9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)-1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)

SQL 11

MF C73 H98 N16 O14

PAGE 1-A

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]-.alpha.-(2-methylpropyl)-6-oxo-, methyl ester, [5S-[1(R\*),5R\*,7(R\*)]]- (9CI)

MF C28 H41 N3 O6

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl]-L-phenylalanyl]-L-phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, methyl ester, [S-(R\*,R\*)]- (9CI)

SQL 4

MF C37 H50 N4 O7

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[N-[N-[5-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]-L-phenylalanyl]-L-phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, methyl ester, [S-(R\*,R\*)]-(9CI)

SQL 5

MF C42 H59 N5 O8

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[N-[5-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]-L-phenylalanyl]-L-phenylalanyl]-L-phenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, [S-(R\*,R\*)]- (9CI)

SQL 5

MF C41 H57 N5 O8

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN L-Tryptophanamide, 5-oxo-L-prolyl-L-alanyl-L-alpha.-aspartyl-L-prolyl-L-asparaginyl-L-lysyl-L-phenylalanyl-L-tyrosyl-(.alpha.S,5S)-alpha.-(2-methylpropyl)-6-oxo-1,7-diazaspiro[4.4]nonane-7-acetyl-(9CI)

SQL 11

MF C69 H91 N15 O16

Absolute stereochemistry.

PAGE 1-B

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN Physalemin, 2-L-proline-9-deglycine-10-[(5S)-6-oxo-L-.alpha.-(2-methylpropyl)-1,7-diazaspiro[4.4]nonane-7-acetic acid]-11-L-tryptophanamide- (9CI)

SQL 11

MF C71 H93 N15 O16

PAGE 2-A

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):10

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

L-Phenylalaninamide, L-tyrosyl-N-[2-[7-[1-[[[2-amino-1-(1H-indol-3-ylmethyl)-2-oxoethyl]amino]carbonyl]-3-methylbutyl]-6-oxo-1,7-diazaspiro[4.4]non-1-yl]-1-[(4-hydroxyphenyl)methyl]-2-oxoethyl]-,
[5S-[1(R\*),5R\*,7[R\*(R\*)]]]-, trifluoroacetate (salt) (9CI)

SQL 6

MF C51 H60 N8 O8 . x C2 H F3 O2

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

CM 1

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

PAGE 1-A

CM 2

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN
IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, .alpha.-(2-methylpropyl)-6oxo-1-[(phenylmethoxy)carbonyl]-, methyl ester, (R\*,S\*)- (9CI)

MF C22 H30 N2 O5

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, .alpha.-(2-methylpropyl)-6oxo-1-[(phenylmethoxy)carbonyl]-, methyl ester, (R\*,R\*)- (9CI)
MF C22 H30 N2 O5

Relative stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

SQL 6

MF C41 H59 N7 O6 S

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]-.alpha.-(2-methylpropyl)-6-oxo-, methyl ester, [5R-[1(S\*),5R\*,7(R\*)]]- (9CI)

MF C28 H41 N3 O6

Absolute stereochemistry.

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]-.alpha.-(2-methylpropyl)-6-oxo-, methyl ester, [5S-[1(R\*),5R\*,7(S\*)]]- (9CI)

MF C28 H41 N3 O6

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetic acid, 1-[2-[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxo-3-phenylpropyl]-.alpha.-(2-methylpropyl)-6-oxo-, methyl ester, [5R-[1(S\*),5R\*,7(S\*)]]- (9CI)

MF C28 H41 N3 O6

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L17 48 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

IN 1,7-Diazaspiro[4.4]nonane-7-acetamide, N-[1-(aminocarbonyl)-3(methylthio)propyl]-1-[N-[N-(5-amino-1-oxopentyl)-L-phenylalanyl]-Lphenylalanyl]-.alpha.-(2-methylpropyl)-6-oxo-, [5R-[5R\*,7[S\*(S\*)]]](9CI)

SQL 6

## MF C41 H59 N7 O6 S

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

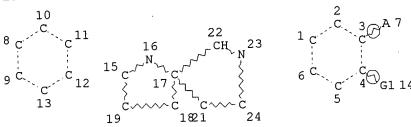
ALL ANSWERS HAVE BEEN SCANNED

=> d 13

L3 HAS NO ANSWERS

L3

STR



VAR G1=N/O NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

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100.0% PROCESSED 12792 ITERATIONS SEARCH TIME: 00.00.01

15 ANSWERS

SEARCH TIME: 00.00.01

L5 15 SEA SSS FUL L3

=> d scan

L5 15 ANSWERS REGISTRY COPYRIGHT 2003 ACS on STN

1H-Indole-3-acetamide, 6-chloro-5-[[1-[(4-fluorophenyl)methyl]-1,7-diazaspiro[4.4]non-7-yl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo-(9CI)

MF C28 H30 C1 F N4 O3

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L6
=> d bib abs hitstr 1-4
     ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
      2002:428896 CAPLUS
AN
DN
      Preparation of indolecarboxamides as p38-.alpha. inhibitors
TI
      Dugar, Sundeep; Mavunkel, Babu J.; Luedtke, Gregory R.; Mcenroe, Glen
IN
PA
      Scios Inc., USA
      PCT Int. Appl., 64 pp.
SO
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PRAI US 2000-252163P
                          Ρ
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      WO 2001-US43439
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MARPAT 137:6088

OS GI

AB Title compds. were prepd. as p38-.alpha. inhibitors (no data). Thus, 6-chloro-1-methyl-1H-indole-5-carboxylic acid was amidated by (R)-3-aminomethyl-1-benzylpyrrolidine followed by acylation and amidation to give title compd. I.

IT 433286-59-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of indolecarboxamides as p38-.alpha. inhibitors)
433286-59-0 CAPLUS
1H-Indole-3-acetamide, 6-chloro-5-[[1-[(4-fluorophenyl)methyl]-1,7-diazaspiro[4.4]non-7-yl]carbonyl]-N,N,1-trimethyl-.alpha.-oxo- (9CI) (CA

RN

CN

GΙ

INDEX NAME)

ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN Lб 1997:166394 CAPLUS AN 126:225438 DN 1,3-Dipolar cycloaddition approach to indolic aza analogs of cephalotaxine TINyerges, Miklos; Rudas, Monika; Bitter, Istvan; Toke, Laszlo ΑU Dep. Org. Chem. Technol., Tech. Univ. Budapest, Budapest, H-1521, Hung. CS Tetrahedron (1997), 53(9), 3269-3280 SO CODEN: TETRAB; ISSN: 0040-4020 PΒ Elsevier DTJournal English LA CASREACT 126:225438 OS

AB An indolic aza-analog I of cephalotaxine has been prepd. stereoselectively using 1,3-dipolar cycloaddn. of azomethine ylides as a key step. The Pictet-Spengler reaction of the amine II resulted in the formation of an unusual heterocyclic product III. The structure and stereochem. of III was were studied in detail by NMR. methods.

IT 188348-64-3P 188348-67-6P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (1,3-dipolar cycloaddn. approach to indolic aza analogs of cephalotaxine)

RN 188348-64-3 CAPLUS

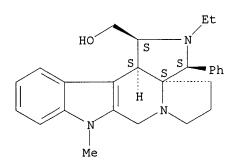
1H,6H,13H-7-Oxa-5,8,13a-triazacyclopenta[3a,4]pentaleno[1,6-cd]fluorene, 5-ethyl-2,3,4,5,5a,7a,8,12c-octahydro-8-methyl-4-phenyl-, (3aR\*,4.alpha.,5a.beta.,7a.alpha.,12bS\*,12c.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 188348-67-6 CAPLUS

CN 4H-Pyrrolo[3',4':8,8a]indolizino[6,7-b]indole-1-methanol, 2-ethyl-1,2,3,5,6,8,9,13c-octahydro-9-methyl-3-phenyl-, (1.alpha.,3.alpha.,3aR\*,13c.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



## IT 188348-62-1P 188348-63-2P 188348-65-4P 188348-66-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(1,3-dipolar cycloaddn. approach to indolic aza analogs of cephalotaxine)

RN 188348-62-1 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-carboxylic acid, 7-acetyl-9-(1-methyl-1H-indol-3-yl)-2-oxo-6-phenyl-, ethyl ester, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)-(9CI) (CA INDEX NAME)

RN 188348-63-2 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-methanol, 7-ethyl-9-(1-methyl-1H-indol-3-yl)-6-phenyl-, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 188348-65-4 CAPLUS

1,7-Diazaspiro[4.4]nonane, 8-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-7-ethyl-9-(1-methyl-1H-indol-3-yl)-6-phenyl-,
(5.alpha.,6.alpha.,8.alpha.,9.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 188348-66-5 CAPLUS

CN 4H-Pyrrolo[3',4':8,8a]indolizino[6,7-b]indole, 1-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-2-ethyl-1,2,3,5,6,8,9,13c-octahydro-9-methyl-3-phenyl-, (1.alpha.,3.alpha.,3aR\*,13c.beta.)- (9CI) (CA INDEX NAME)

L6 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1995:881131 CAPLUS

DN 124:9069

TI 1,3-Dipolar cycloaddition approach towards the stereoselective preparation of aza-cephalotaxine skeleton

AU Nyerges, Miklos; Bitter, Istvan; Kadas, Istvan; Toth, Gabor; Toke, Laszlo

CS Res. Group Hungarian Acad. Sci., Dep. Org. Chem., Budapest, H-1521, Hung.

SO Tetrahedron (1995), 51(42), 11489-502

CODEN: TETRAB; ISSN: 0040-4020

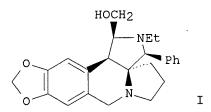
PB Elsevier

DT Journal

LA English

OS CASREACT 124:9069

GΪ



AB An aza-analog I of cephalotaxine was prepd. stereoselectively using 1,3-dipolar cycloaddn. of azomethine ylide as a key step.

IT 157035-39-7P 157035-40-0P 157035-41-1P

171020-26-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(dipolar cycloaddn. approach towards stereoselective prepn. of aza-cephalotaxine skeleton)

RN 157035-39-7 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-carboxylic acid, 7-acetyl-9-(1,3-benzodioxol-5-yl)-2-oxo-6-phenyl-, ethyl ester, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)-(9CI) (CA INDEX NAME)

RN 157035-40-0 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-methanol, 9-(1,3-benzodioxol-5-yl)-7-ethyl-6-phenyl-, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 157035-41-1 CAPLUS

CN 1H,4H-[1,3]Dioxolo[4,5-g]dipyrrolo[1,2-b:3',4'-c]isoquinoline-1-methanol, 2-ethyl-2,3,5,6,8,13b-hexahydro-3-phenyl-, (1.alpha.,3.alpha.,3aR\*,13b.bet a.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 171020-26-1 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-methanol, 9-(1,3-benzodioxol-5-yl)-7-ethyl-1[(methylthio)acetyl]-6-phenyl-, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)(9CI) (CA INDEX NAME)

## IT 171020-23-8P 171020-25-0P 171020-27-2P

171231-94-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (dipolar cycloaddn. approach towards stereoselective prepn. of aza-cephalotaxine skeleton)

RN 171020-23-8 CAPLUS

1,7-Diazaspiro[4.4]nonane-8-carboxylic acid, 9-(1,3-benzodioxol-5-yl)-7-methyl-2-oxo-6-phenyl-, ethyl ester, (5.alpha.,6.alpha.,8.beta.,9.alpha.)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 171020-25-0 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-carboxylic acid, 9-(1,3-benzodioxol-5-yl)-2-oxo-6-phenyl-7-(phenylmethyl)-, ethyl ester, (5.alpha.,6.alpha.,8.alpha.,9.beta.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 171020-27-2 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-methanol, 9-(1,3-benzodioxol-5-yl)-7-ethyl-1[(methylsulfinyl)acetyl]-6-phenyl-, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 171231-94-0 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-carboxylic acid, 9-(1,3-benzodioxol-5-yl)-7-methyl-2-oxo-6-phenyl-, ethyl ester, (5.alpha.,6.alpha.,8.beta.,9.beta.)-(9CI) (CA INDEX NAME)

Relative stereochemistry.

- L6 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS on STN
- AN 1994:534528 CAPLUS
- DN 121:134528
- TI 1,3-Dipolar cycloaddition approach towards the stereoselective preparation of aza-cephalotaxine skeleton
- AU Nyerges, Miklos; Bitter, Istvan; Kadas, Istvan; Toth, Gabor; Toke, Laszlo
- CS Dep. Organic Chem. Technol., Techn. Univ. Budapest, Budapest, H-1521, Hung.
- SO Tetrahedron Letters (1994), 35(25), 4413-14 CODEN: TELEAY; ISSN: 0040-4039
- DT Journal
- LA English
- OS CASREACT 121:134528

GI

AB The aza-analog of a cephalotaxine skeleton I has been prepd. by series of reactions which include a 1,3-dipolar cycloaddn. in 100% diastereoselectivity.

IT 157035-39-7P 157035-40-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reaction of, in prepn. of azacephalotaxine skeleton)

RN 157035-39-7 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-carboxylic acid, 7-acetyl-9-(1,3-benzodioxol-5-yl)-2-oxo-6-phenyl-, ethyl ester, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)(9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 157035-40-0 CAPLUS

CN 1,7-Diazaspiro[4.4]nonane-8-methanol, 9-(1,3-benzodioxol-5-yl)-7-ethyl-6-phenyl-, (5.alpha.,6.alpha.,8.alpha.,9.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

IT 157035-41-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 157035-41-1 CAPLUS

CN 1H, 4H-[1,3]Dioxolo[4,5-g]dipyrrolo[1,2-b:3',4'-c]isoquinoline-1-methanol,

2-ethyl-2,3,5,6,8,13b-hexahydro-3-phenyl-, (1.alpha.,3.alpha.,3aR\*,13b.bet a.)- (9CI) (CA INDEX NAME)